

11

ring nodes :

1 2 3 4 5 6 7 8 9 10 12 13 14 15 16

chain bonds :

9-11

ring bonds ':

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-16 13-14 14-15

exact/norm bonds :

5-7 6-10 7-8 8-9 9-10 9-11 12-13 12-16 13-14 14-15 15-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS

L1STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 16:10:34 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 313 TO ITERATE

100.0% PROCESSED

313 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

5199 TO 7321

PROJECTED ANSWERS:

56 TO 504

<03/01/2005>

Habte

10/702,302 Page 4

L2 14 SEA SSS SAM L1

=> s 11 sss full FULL SEARCH INITIATED 16:10:42 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6120 TO ITERATE

100.0% PROCESSED 6120 ITERATIONS

247 ANSWERS

SEARCH TIME: 00.00.01

L3 247 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 161.33 161.54

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 16:10:47 ON 01 MAR 2005
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FILE COVERS 1907 - 1 Mar 2005 VOL 142 ISS 10 FILE LAST UPDATED: 28 Feb 2005 (20050228/ED)

This file contains ${\it CAS}$ Registry Numbers for easy and accurate substance identification.

=> s 13

L4 35 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:392319 CAPLUS

INCENTIVEMENT NUMBER: 140:406825

INVENTOR(S): Freparation of substituted benzoxazinones as selective 5-HT6 antagonists for treating central nervous system diseases and gastrointestinal tract disorders

Haag, Hans; Sul, Meng; Zhao, Shu-hai

ROCHE Palo Alto Lic, USA

U.S. Pat. Appl. Publ., 40 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	PAT	ENT	NO.					DATE								D.	ATE		
							-									-			
	US	200	10925	12		A1		2004	0513		US 2	- 200	7023	02		2	0031	106	
	WO	2004	10417	92		A1		2004	0521		wo 2	003-	EP 12	278		2	0031	104	
		W:	AE,	AG,	AL,	AM,	AT.	AU,	AZ.	BA,	BB,	BG,	BR,	BW.	BY,	BZ.	CA,	CH,	
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE.	GH.	GM.	HR.	HU.	ID.	IL.	IN.	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC.	
			LK,	LR,	LS,	LT,	LU,	LV.	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
			NZ,	OM,	PG,	PH,	PL,	PT.	RO,	RU,	SC.	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	
			TH.	TN.	TR.	TT.	TZ.	UA.	UG,	UZ,	VC.	VN.	YU,	ZA,	ZM,	ZW			
		RW	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
			BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK.	EE,	
			ES,	FI.	FR.	GB,	GR,	HU,	IE,	IT.	LU.	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
			TR.	BF.	BJ,	CF,	CG,	CI.	CM.	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN.	TD,	TO
0	RITY	API	LN.	INFO	.:	-					US 2	002-	4249	46P		2	0021	108	
Œ	R SC	URCI	E(S):			MAR	PAT	140:	4068	25									

The invention provides compds. of the formula (I) or pharmaceutically acceptable salts or prodrugs thereof [Y = C, S; m = 1 when Y = C and m = 2 when Y = S; n = 1, 2; p = 0-3; q = 1-3; Z = (CRaRb)r or SO2 (where Ra, Rb = H, alkyl); r = 0-2; X = CH, N; R1 = halo, alkyl, haloalkyl, heteroalkyl,

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Preparation): RACT (Reactant or reagent): USES (Uses)
(prepn. of substituted benzowazinones as selective 5-HT6 antagonists
for treating central nervous system diseases and gastrointestinal tract

disorders)
688563-68-0 CAPLUS
2H-1, 4-Benzoxazin-3(4H)-one, 6-methyl-4-(phenylmethyl)-8-(1-piperazinyl)(9C1) (CA INDEX NAME)

688363-69-1 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 4-(phenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

<.03/01/2005>

688363-00-0P, 4-Benzyl-6-methyl-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-01-1P,
4-Benzyl-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-02-2P, 4-(2-Fluorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-03-3P, 4-(2-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-04-4P,
4-(3-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-06-6P,
4-Benzyl-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-07-7P, 4-(2-Fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-06-6P,
4-(4-Fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-09-9P, 4-(4-Chlorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-10-2P,
4-(4-Fluorobenzyl)-8-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one

Page 5

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkowy, cyano, S(0)sRc, CONRCRd, SOZNRCRd, N(Rc)CORd, or CORc (where Rc, Rd = H, alkyl), s = 0-2; R2 = sryl, heteroaryl; R3, R4 = H, alkyl, hydroxyalkyl, or alkoxyalkyl, or R3 and R4 together with their shared carbon may form a ring of 3 to 6 members that optionally includes a N or O heteroatom; R5-R9 = H or alkyl, or no of R5 and R6 together with one of R7, R8 and R9 and the atoms there between may form a ring of 5 to 7 members]. These compds. exhibit selective affinity for 5-HT6 receptor and are used as selective 5-HT6 antagonists for treating (a) a central nervous system disease state which is selected from psychoses, schizophrenia, manic depressions, neurol. disorders, memory disorders, attention deficit disorder, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease and (b) a disorder of the gastrointestinal tract. Thus, amination of 4-benzyl-8-bromo-2,2-dimethyl-4H-benzo(1,4) oxazin-3-one with 1-tert-butoxycarbonylmalnopiperazine in the presence of Pd2(dba)3, BINAP, and sodium tert-butoxide in toluene at 95-100' followed by treatment with HCl/EcN gave 4-benzyl-2,2-dimethyl-8-(piperazin-1-yl)4H-benzo(1,4) oxazin-3-one (II) hydrochloride. Free smine II and 4-(2-fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo(1,4) oxazin-3-one inhibited the binding of (3H)LSD to human 5-HT6 receptor with pKi of 3.13 and 9.04, resp. 688363-65-77, 4-(4-Benzyl-3-oxo-3,4-dihydro-2H-benzo(1,4) oxazin-8-yl)piperazine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant) reagent; (Intermediate, preparation) PREP (Preparation), RACT (Reactant) or reagent; (Intermediate, preparation of substituted benzoxazinones as selective antagonists for treating central nervous system diseases and

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antagonists for treating central nervous system diseases and
gastrointestinal tract disorders)
688363-65-7 CAPLUS
1-Piperazinecarboxylic acid, 4-[3,4-dihydro-3-oxo-4-(phenylmethyl)-ZH-1,4benzoxazin-8-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

688363-68-0P, 4-Benzyl-6-methyl-8-(piperazin-1-yl)-4H-benzo(1,4) oxazin-3-one 68363-69-1P, 4-Benzyl-8-(piperazin-1-yl)-4H-benzo(1,4) oxazin-3-one RL: PAC (Pharmacological activity), RCT (Reactant), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) hydrochloride 68353-21-39, 4-(2-Fluorobenzyl)-6-fluoro-8 (piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68363-12-49, 4-(2-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-13-59, 4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-13-59, 4-(3-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-14-69, 6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-13-79, 4-(3-fluorobenzyl)-8-(piperazin-1-yl)-2-(3-dihydrobenzo[1,4] oxazin-4-one hydrochloride 68263-13-79, 4-(3-fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-18-09, 4-Benzyl-2-(2-dimethyl-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-18-09, 4-Benzyl-2-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-22-0-49, 4-Benzyl-2-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-22-0-49, 4-Benzyl-2-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68363-22-0-49, 4-Benzyl-2-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68363-22-69, (5)-4-Benzyl-2-methyl-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68363-22-79, (5)-4-Benzyl-2-methyl-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-22-79, (4)-4-Benzyl-3-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-22-79, (4)-4-Benzyl-3-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-22-79, (4)-4-Benzyl-3-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 68263-27-19, 4-(3-Benzyl-3-(4)-4-Benzyl-

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10/702,302

14 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
4-Benzyl-6-methyl-8-(4-methylpiperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689363-70-49, 4-Benzyl-8-(4-methylpiperazin-1-yl)-4Hbenzo[1,4] oxazin-3-one 689363-711-59, Penzyl-2,2-dimethyl-9(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one 689363-83-99-99,
4-(2-Fluorobenzyl)-6-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689363-84-09, 4-(2-Fluorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4Hbenzo[1,4] oxazin-3-one 689363-85-19, [9] (9] (1,4] oxazin-3-one
689363-84-09, 4-(2-Fluorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4Hbenzo[1,4] oxazin-3-one 689363-85-84-89, (4-(2-Fluorobenzyl)-6(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one 689363-86-29,
4-(3-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3one 689363-90-89, (4-(3-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3one 689363-90-89, (4-(3-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one 689363-92-09,
(4-(4-Fluorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689363-93-19, (4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689363-93-19, (4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689363-93-19, (4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689363-96-69, (4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689363-98-69, (4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689363-98-69, (4-(3-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689364-00-09, (4-(3-Fluorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689364-00-09, (4-(3-Fluorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689364-00-09, (4-(3-Fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689364-00-09, (4-(3-Fluorobenzyl)-2,2-dimethyl-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one
689364-00-09, (4-(3-Fluorobenzyl)-2,2-dimethyl-8-(piperazin-1-yl)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

688363-02-2 CAPLUS

28-1,4-Benzowazin-3(4H)-one, 4-[(2-fluoropheny1)methyl]-6-methoxy-8-(1-piperaziny1)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

688363-03-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-chlorophenyl)methyl]-6-methoxy-0-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

688363-04-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-methoxy-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Page 6

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (piperazin-1-y1)-4H-benzo[1,4]oxazin-3-one 688364-20-7P, 4-(3-Chlorobenzy1)-6-fluoro-2,2-dimethy1-8-(piperazin-1-y1)-4H-benzo[1,4]oxazin-3-one 689364-21-8P, 4-Benzy1-8-(3,3-dimethylpiperazin-1-y1)-4H-benzo[1,4]oxazin-3-one 689364-22-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(prepn. of substituted benzoxazinones as selective 5-HT6 antagonists for treating central nervous system diseases and gastrointestinal tract disorders)
688363-00-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

688363-01-1 CAPLUS 2H-1, 4-Benzokazin-3(4H)-one, 6-methoxy-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochioride (9CI) (CA INDEX NAME)

● HC1

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

• HC1

688363-05-5 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-(phenylmethyl)-8-(1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

688363-06-6 CAPLUS ZH-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

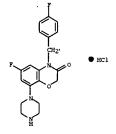
• HCl

RN 688363-07-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-{(2-fluorophenyl)methyl]-8-(1-piperazinyl), monohydrochloride (9CI) (CA INDEX NAME)

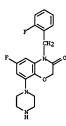
• HC)

RN 688363-08-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-8-(1-piperazinyl), monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 688363-11-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(2-fluorophenyl)methyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

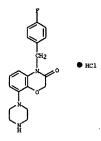


• HC1

RN 688363-12-4 CAPLUS -- C

Page 7

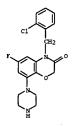
L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 688363-09-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-8-(1-piperazinyl), monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-10-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(4-fluorophenyl)methyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



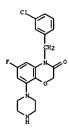
● HC1

RN 698363-13-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-14-6 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-(2-naphthalenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 688363-15-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



• HC

RN 688363-16-8 CAPLUS
CN Benzonitrile, 3-[(2,3-dihydro-3-oxo-8-(1-piperaziny1)-4H-1,4-benzoxazin-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 688363-19-1 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 2-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HC1

RN 689363-20-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(phenylmethyl)-6-(1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC

RN 688363-17-9 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-fluoropheny1)methy1]-8-(1-piperaziny1)-, monohydrochloride (9CI) (CA INDEX NAME)

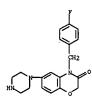
HC1

RN 688363-18-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2,2-dimethyl-4-(phenylmethyl)-8-(1-piperazinyl)-,monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

• HCl

RN 688363-21-5 CAPLUS
CN 2H-1,4-Benzokazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-6-(1-piperazinyl), monohydrochloride (9CI) (CA INDEX NAME)



• HC1

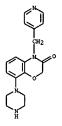
RN 688363-22-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-,
monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 688363-23-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)-4-(4-pyridinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



• HCl

RN 688363-24-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-8-(4-methyl-1-piperazinyl)-4(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 688363-27-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-methoxyphenyl)methyl]-8-(1-piperazinyl), monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 688363-28-2 CAPLUS
CN 2H-1/4-Benzowazin-3(4H)-one, '4-{(3-nitrophenyl)methyl]-8-{1-piperazinyl}-,
monohydrochloride (9CI) (CA INDEX NAME)

Page 9

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

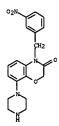
● HCl

RN 688363-25-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(4-methyl-1-piperazinyl)-4-(phenylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

• HCl

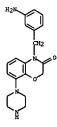
RN 688363-26-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(1-phenylethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



• HC1

RN 688363-29-3 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[(3-aminophenyl)methyl]-8-(1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



HC1

RN 688363-30-6 CAPLUS
CN Benzonitrile, 4-[[2,3-dihydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-y1]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-31-7 CAPLUS
CN Methanesulfonamide, N-[3-[[2,3-dihydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-32-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-,monohydrochloride (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

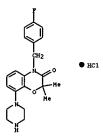
RN 688363-35-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 688363-36-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(3,5-dimethyl-1-piperazinyl)-4(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 688363-33-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

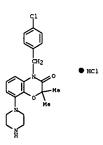
• HCl

RN 688363-34-0 CAPLUS
CN Urea, [3-[2,3-dihydro-3-oxo-8-(1-piperaziny1)-4H-1,4-benzoxazin-4-y1]methyl]phenyl]-, monobydrochloride (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 688363-37-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 688363-38-4 CAPLUS
CN 2K-1,4-Benzowazin-3(4H)-one, 6-fluoro-2,2-dimethyl-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 688363-39-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-6-fluoro-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-40-8 CAPLUS
CN 2H-1,4-Benzoxazin-3[4H]-one, 6-fluoro-4-[[3-fluorophenyl]methyl]-2,2dimethyl-8-(1-piperazinyl)-, monohydrochloride [9C1] (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-43-1 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-fluoro-2,2dimethyl-8-(1-plerazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

N 688363-44-2 CAPLUS N 2H-1,4-Benzowazin-3 (4H)-one, 8-(3,3-dimethyl-1-piperazinyl)-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME) Page 11

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC1

RN 688363-41-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(2-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 688363-42-0 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-fluoro-4-[(4-fluoropheny1)methy1]-2,2dimethyl-8-(1-piperaziny1)-, monohydrochloride (9C1) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC

RN 688363-46-4 CAPLUS
CN Spiro[2H-1,4-benzoxazine-2,1'-cyclobutan]-3(4H)-one, 4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC

RN 688363-67-9 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-8-(4-methyl-1-piperazinyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me H2-Ph

RN 688363-70-4 CAPLUS
CN 2H-1,4-Senzoxazin-3(4H)-one, 8-(4-methyl-1-piperazinyl)-4-(phenylmethyl)(9C1) (CA INDEX NAME)

CH2-Ph

RN 688363-71-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2,2-dimethyl-4-(phenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Ph S Me

RN 688363-86-2 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

CH2
CH2
NHe

RN 688363-87-3 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 6-methoxy-4-(phenylmethyl)-8-(1-piperazinyl)-(9C1) (CA INDEX NAME)

Med CH2-Ph

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 688363-83-9 CAPLUS
CN 2H-1,4-Beacoxazin-3(4H)-one, 4-[(2-fluorophenyl)methyl]-8-(1-piperazinyl)(9CI) (CA INDEX NAME)

RN 688363-84-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(2-fluorophenyl)methyl]-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

F H2

RN 688363-85-1 CAPLUS
CN 2H-1,4-Senzowazin-3 (4H)-one, 2-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-, (25) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-88-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-fluorophenyl)methyl]-6-methoxy-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

Meo H

RN 688363-89-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-chlorophenyl)methyl]-6-methoxy-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

C1 H2

RN 688363-90-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-methoxy-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-91-9 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-(phenylmethyl)-8-(1-piperazinyl)-(9CI) (CA INDEX NAME)

RN 688363-92-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-8-(1-piperazinyl)(9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-95-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)- (9C1) (CA INDEX NAME)

RN 688363-96-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-93-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-8-(1-piperazinyl)(9C1) (CA INDEX NAME)

RN 688363-94-2 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(4-fluorophenyl)methyl]-8-(1-piperazinyl)- (9Cl) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-97-5 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-fluoro-4-(2-naphthalenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688363-98-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688363-99-7 CAPLUS
CN Benzonitrile, 3-[[2,3-dihydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 688364-00-3 CAPLUS CN 2H-1, 4-Benzoxazin-3 (4H)-one, 4-[(3-fluorophenyl)methyl]-8-(1-piperazinyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-6-(1-piperazinyl)(9CI) (CA INDEX NAME)

RN ·688364-04-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)-4-(4-pyridinylmethyl)(9CI) (CA INDEX NAME)

RN 688364-05-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(1-phenylethyl)-8-(1-piperazinyl)- (9CI)
(CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688364-01-4 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 2-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 688364-02-5 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(phenylmethyl)-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688364-03-6 CAPLUS

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688364-06-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-methoxyphenyl)methyl]-8-(1-piperazinyl)(9C1) (CA INDEX NAME)

RN 688364-07-0 CAPLUS . CN 2H-1,4-Banzoxazin-3(4H)-one, 4-[(3-nitrophenyl)methyl]-8-(1-piperazinyl)-(9C1) (CA INDEX NAME)

RN 689364-08-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-{(3-aminophenyl)methyl}-8-(1-piperazinyl)(9CI) (CA INDEX NAME)

RN 688364-09-2 CAPLUS
CN Methanesulfonamide, N-[3-{[2,3-dibydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688364-12-7 CAPLUS
CN Urea, [3-[[2,3-dihydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 688364-13-9 CAPLUS
CN 2H-1,4-Bencvazin-3(4H)-one, 8-(3,5-dimethyl-1-piperazinyl)-4(phenylmethyl)- (9Cl) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 688364-10-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688364-11-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-(9C1) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

RN 688364-14-9 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688364-15-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-2,2-dimethyl-4-(phenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

Habte

<03/01/2005>

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 688364-16-1 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-{(4-chloropheny1)methy1}-6-fluoro-2,2-dimethy1-8-(1-piperaziny1)- (9CI) (CA INDEX NAME)

688364-17-2 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(3-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

688364-18-3 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(2-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

688364-21-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-(3,3-dimethyl-1-piperazinyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

688364-22-9 CAPLUS Spiro[2H-1,4-benzoxazine-2,1'-cyclobutan]-3(4H)-one, 4-(phenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

688364-19-4 CAPLUS ZH-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(4-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (SCI) (CA INDEX NAME)

688364-20-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-fluoro-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

L4 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:142766 CAPLUS
DOCUMENT NUMBER: 138:153531
INVENTOR(S): herbicides
INVENTOR(S): Li, Bin; Xu, Jidong, Mang, Ying, Zhang, Zongjian
SOURCE: Shenyang Chemical Institute, Peop. Rep. China
Faming Zhuanii Shenqing Gongkai Shuomingshu, 15 pp.
DOCUMENT TYPE: LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1325849 CN 1118466	A B	20011212 20030820	CN 2000-110477	20000530
PRIORITY APPLN. INFO.:	-		CN 2000-110477	20000530
OTHER SOURCE(S):	MARPAT	138:153531		

Title compds. I (R = H, alkyl, W = O, S; X1, X4 = H, halo; X2 = halo, cyano, nitro, etc.; X3 = alkyl, alkoxy, alkenyloxy, alkynyloxy, etc.), useful as herbicides, are prepared I (R = MeoCH2, W = O, X1 = F, X2 = Cl, X3 = cyclopentyloxy, X4 = H) was prepared in several steps from 2-fluoro-4-chloro-5-cyclopentyloxyaniline and showed herbicidal activity against Polygonum lapathifolium at 1200 g/ha. 374718-07-78 374718-08-89 374718-09-99
374718-10-29 374718-11-39 374718-13-59
374718-10-69 374718-16-89 494658-07-79
494869-11-39
RE: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazolinetrione derivs. as herbicides)
374718-07-7 CAPLUS
Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-cxo-4-(2-propynyl)-2H-1,4-benzowazin-6-yl]methyl- (9CI) (CA INDEX NAME)

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

374718-13-5 CAPLUS
4,5-Imidazolidinedione, 1-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

$$n-Pr - \bigvee_{S}^{N} \bigvee_{F}^{N} \bigvee_{S}^{N} \circ$$

374718-14-6 CAPLUS
4,5-Imidazolidinedione, 1-(4-butyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

374718-16-8 CAPLUS 4,5-Imidazolidinedione, 1-ethyl-3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2R-1,4-benzowazin-6-yl}-2-thioxo- (9CI) (CA INDEX NAME)

494869-07-7 CAPLUS
4,5-Imidazolidinedione, 1-(7-fluoro-3,4-dihydro-3-oxo-4-propyl-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

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ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

374718-08-9 CAPLUS Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]propyl- (9CI) (CA INDEX NAME)

374718-09-9 CAPLUS
Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl](2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

374718-10-2 CAPLUS
4,5-Imidazolidinedione, 1-{7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

374718-11-3 CAPLUS 4.5-Imidazolidinedione, 1-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propeny1)-2H-1.4-benzoxazin-6-yl]-3-propyl-2-thioxo-(SCI) (CA INDEX NAME)

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

494869-11-3 CAPLUS 4,5-Imidazolidinedione, 1-(7-fluoro-3,4-dihydro-4-(methoxymethyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:22870 CAPLUS
TITLE: 38:99220
TITLE: 39:9920
TITLE: 4 antagonists, potent serotonin reuptake inhibitors, and which show affinity for the dopamine D4 receptor
Rottlaender, Mario; Moltzen, Ejner Knud; Mikkelsen, Ivan; Ruhland, Thomas; Andersen, Kim; Krog-Jensen, Christian
PATENT ASSIGNEE(S): 5CURCE: 4C. LANGUAGE: 4C. NUM. COUNT: 1
FAMILY ACC. NUM. COUNT: 1
FA

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	PATENT NO.				KIN		DATE						NO.			ATE	
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		FI,	FI.	GB.	GD,	GE,	GH,	GM.	HR,	HU.	ID.	IL.	IN.	IS.	JP.	KE,	KG
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OTHER S	OURCE	(S):			MAR	PAT	138:	8982	0								

(Continued) ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

484031-14-3 CAPLUS
3-Pyridinecarbonitrile, 2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1-piperazinyl]ethyl]thio]-4,6-dimethyl- (9CI) (CA INDEX NAME)

484031-16-5 CAPLUS
3-Pyridinecarbonitrile, 6-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl}-1-piperazinyl]ethyl]thio]-4-methyl- (9CI) (CA INDEX

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

Heteroaryl derivs. [I; wherein A = 0, S; n = 2, 3, 4, 5, 6, 7, 8, 9, 10; m = 2, 3; W, Q, independently = N, C, CH; X = 0, amino, S, CR4R5; Y = CR6R7, CR6R7-CR6R7, CR6CR7, CCCR6R7, or X and Y together form a group CR4:CR5, CR4:CR5-CR6R7, 2 = 0, S; R1, R2, R3, R4, R5, R6, R7, R8, R9, independently = H, (C1-C6) alkyl, (C2-C6) alkwyl, (C2-C6) alkwyl, (C3-C6) cycloalkyl-(C1-C6) alkyl, aryl (C1-C6) alkyl, aryl, etc.; R10, R11, independently = H, (C1-C6) alkyl, aryl together form a bridge consisting of two or three methylene groups; R12, R13, R14, R15 = H, halo, cyano, nitro, hydroxy, (C1-C6) alkyl, (C1-C6) alkxyl, etc.] were prepared for example, 4,6-dimethyl-2-(2-oxoethylsulfanyl)-nicotinonitrile (synthetic preparation given) is reacted with 4-(2,3-dihydrobenzo[1,4] dioxin-5-yl)piperazine to give 2-(2-(4-(2,3-dihydrobenzo[1,4] dioxin-5-yl)piperazin-1-yl)ethylsulfanyl)-6-methylnicotinonitrile (II). The prepared compds. are potent serotonin reuptake inhibitors and exhibit high affinity for 5-HTIA receptors and the dopamine D4 receptor and, thus, are useful for the treatment of affective disorders such as general anxiety disorder, panic disorder, obsessive compulsive disorder, depression, social phobia and exting disorders, and neurol. disorders such as psychosis. For example, compound II showed good inhibition of 3H-5-HT uptake into rat brain synaptosomes (IC50 < 20 M).

84031-12-19 40431-12-39 84031-16-59 84031-20-19

84031-12-19 84031-14-39 84031-10-59 84031-20-19

84031-12-19 84031-14-39 84031-20-19

84031-12-19 84031-14-39 84031-20-19

84031-17-69 84031-13-95 84031-20-19

84031-17-69 84031-19-59 84031-20-19

84031-17-69 84031-19-59 85101 (Biological study); PREP (Preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation) the showled analogonists, potent serotonin reuptake inhibitors, and which show

(Uses)
(preparation of benzodioxinyl piperazinyl heteroaryl derivs. as 5-HTIA antagonists, potent serotonin reuptake inhibitors, and which show affinity for dopamine D4 receptor)
484031-12-1 CAPIUS
3-Pyridinearbonitrile, 2-[[2-[4-(5-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1-piperazinyl]ethyl]thio]- (9CI) (CA INDEX NAME)

484031-17-6 CAPLUS
3-Pyridinecarbonitrile, 4-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-y1)-1-piperazinyl]ethyl]thio]-6-methyl- (9CI) (CA INDEX NAME)

484031-19-8 CAPLUS
3-Pyridinecarbonitrile, 5-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-y1)-1-piperazinyl]ethyl]thio]-4,6-dimethyl- [9CI] (CA INDEX NAME)

484031-20-1 CAPLUS 3-Pyridinecarbonitrile, 5-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-1,4-benzowazin-8-yl]-1-piperazinyl]ethyllthiol- (9CI) (CA INDEX NAME)

484031-22-3 CAPLUS

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 19

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
3-Pyridinecarbonitrile, 6-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H1,4-benzowazin-8-yl)-1-piperazinyl]ethyl]thio]- (9CI) (CA INDEX NAME)

484031-24-5 CAPLUS
3-Pyridinecarbonitrile, 6-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1-piperazinyl]ethyl]thio]-5-fluoro-(9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2002:793608 CAPLUS DOCUMENT NUMBER: 137:310917 Aromatic-substituted thiohydar

137:310917
Aromatic-substituted thiohydantoins, their preparation, and their use for treating diabetes, dyslipidemia, and obesity Boubia, Bensiessa; Chaput, Evelyne; Ou, Khan; Ratel, Philippe Laboratoires Fournier SA, Fr. PCT Int. Appl., 111 pp. CODEN: PIXXD2
Patent
French
1

INVENTOR (S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. WO 2002081453 WO 2002081453 W: AE, AG W0 2002081453 A1 20021017 W0 2002.

W1 AB, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CA, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KC, KF, KF, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
FR 2823209 B1 20031212

CA 2444024 AA 20021017 FA 2002-2444024 20020404

EP 1373219 A1 20040102 EP 2002-730333 20020404

R: AT, BE, CH, DE, DK, ES, FR, GB, RI, II, LU, NL, NS, EMC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EE 200300485 A 20040216 EE 2003-485 20020404

JF 2004525175 T2 20040819 JP 2002-579441 20020404

US 2004116417 A1 20040617 US 2003-44300 20030926

NO 2003004430 A 20031006 NO 2003-4430 20031003

PRIORITY APPLN. INFO: WARPAT 137:310917

The invention concerns compds. derived from 2-thiohydantoin, selected among compds. I [Rl = (un) substituted aromatic nucleus [substitutes alkoxy, alkyl, alkylthio, NO2, CF3, OCF3, OCH2O, or (un) substituted

Habte

OTHER SOURCE(S):

ANSWER 4 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (homo)(thio)morpholine, (homo)piperaidine, (homo)piperaine, etc.], R2 = H, alkyl or cycloalkyl (potionally interrupted by 0 atoms(s)), haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, cynoalkyl, (un)substituted arom. nucleus; R3 = H, alkyl; R4 = H, alkyl, CH or R3M = CH2; provided that at least one of R1 and R2 is an arom. nucleus bearing at least one (un)substituted (homo)tipiperazine, etc.] and their addn. salts with acids, in particular their pharmaceutically acceptable salts. The invention also concerns methods for prepg. I, pharmaceutical compns. contg. them, and their use as pharmacol. active substances, in particular for treating diabetes, diseases mediated by hyperglycenia, hypertriglyceridemia, dyslipidemia, or obesity. A total of 390 invention compds, and approx. 80 intermediates were prepd. and characterized. When tested orally in mice at doses below 200 mg/kg, I reduced glucose levels by up to -73t, and reduced serum triglycerides by up to -56t, with favorable changes in lipid parameters (no specific data). For instance, 4-(4-morpholinyl) smiline reacted with Et 2-bromogropionate and NaOAc in EtOH to give 69t N-14-(4-morpholinyl)phenyl]-DL-alanine Et ester. Cyclocondensation of this amino ester with 4-(isothioryanato) anisole in refluxing toluene in the presence of AcOH gave 82.5t title compd. II.

171937-62-9p, 1-(4-(Morpholin-4-Yl)phenyl)-3-(3-oxo-2,3-dihydro-4H-1,4-benzoxazin-7-yl)-5,5-dimethyl-2-thioxo-4-imidazolidinone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); USES (Uses)

(drug candidate; preparation of aromatic-substituted thiohydantoins for treatment of diabetes, dyslipidemia, and obesity)

471937-62-9 CARIUS

28-1,4-Benzoxazin-3(4H)-one, 7-[4,4-dimethyl-3-[4-(4-morpholinyl)phenyl]-5-oxo-2-thioxo-1-imidazolidinyl]- (9CI) (CA INDEX NAME)

1

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 20

L4 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:201320
137:201320
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13

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	INFOR	MATI	ON:		-												
PA	TENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D		
WO	2002	0664	73		A1		2002	0829								0020	
WO	2002																
	w:										, BG,						
											, EE,						
		GM,	HR,	ΗU,	ID,	IL,	IN,	ıs,	JP,	KE,	, KG,	KΡ,	ХR,	ΚZ,	LC,	LK,	LR,
											, MW,						
											, SL,		TM,	TN,	TR,	TT,	ΤZ,
	RW:										, TZ,						
		KG,	ΚŻ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	CH,	, CY,	DΕ,	DK,	ES,	FI,	FR,	GB,
											, BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,
							NE,										
CA	2430	707			AA		2002	0829		CA:	2002-	2430	707		2	0020	219
BR	2002	0061	62		A		2003	1028		BR :	2002-	6162			2	0020	219
EP	1366	044			A1		2003	1203	:	EP :	2002-	7198	80		2	0020	219
	R:	ΑT,	ΒÉ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
											, TR						
JP	2004	5187	47		T2		2004	0624		JP :	2002-	5659	87		2	0020	219
US	2004	0242	07		A1		2004	0205	1	JS :	2003-	4322	25		2	0030	522
NO	2003	0029	14		A		2003	0624	1	NO :	2003-	2914			2	0030	624
NO PRIORIT	Y APP	LN.	info	. :						EP 3	2001~	2006	10		A 2	0010	221
									1	70 S	2002-	EP17	95	1	7 2	0020	219
OTHER S	OURCE	(S):			CAS	REAC	т 13	7:20	1320								

ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The title compound (I), which is useful in the treatment of CNS disorders, is prepared by the salification of the I free base with methanesulfonic acid.

acid. **452305-55-4**

402305-55-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(in the preparation of a piperazinyl-2-methyl-2H-1, 4-benzoxazin-3(4H)-one methanesulfonate with high affinity for the dopamine D2 receptor and the serotonin-reuptake site)
452305-55-4 CAPIUS
2H-1, 4-Benzoxazin-3(4H)-one, 8-[4-[3-(5-fluoro-1H-indol-3-y1)propyl]-1-piperazinyl]-2-methyl- (9CI) (CA INDEX NAME)

ΙŢ

452305-36-59
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of a piperaziny1-2-methy1-2H-1,4-benzoxazin-3(4H)-one methanesulfonate with high affinity for the dopamine D2 receptor and the serotonin-reuptake site)
452305-36-5 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 8-[4-(3-(5-fluoro-1H-indol-3-yl)propyl]-1-piperazinyl]-2-methyl-, monomethanesulfonate (SCI) (CA INDEX NAME)

L4 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

CM 1 CRN 452305-55-4 CMF C24 H27 F N4 O2

CM 2

CRN 75-75-2 CMF C H4 03 S

REFERENCE COUNT:

L4 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2002:332196 CAPLUS DOCUMENT NUMBER: 136:355241

DOCUMENT NUMBER: TITLE:

136:355241
Preparation of benzoxazinones as antidepressants and anxiolytics
Johnson, Christopher Norbert, Rami, Harshad Kantilal,
Stemp, Geoffrey, Thewlis, Kevin, Thompson, Mervyn;
Vong, Antonio Kuok Keong
Smithkline Beecham P.L.C., UK
PCT Int. Appl., 97 pp.
CODEN: PIXXU2
Patent
English
1

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATE	NT NO.		KIN	D	DATE			APP	LICAT	ION	NO.		D	ATE		
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	0020347					2002	0502	1	WO.	2001-	EP12	344		2	0011	022
	0020347															
	W: AE,							BA.	ВВ	. BG.	BR.	BY.	BZ.	CA.	CH.	CN.
										, EE,						
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										, TJ,						
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	RW: GH.															
										, LU,						
										, ML,						
CA 2	426706		-	AA		2002	0502		CA	2001-	2426	706		2	0011	022
AU 2	0020247	91		A5		2002	0506	- 1	ΑU	2002-	2479	1		2	0011	022
	330460															
										, IT,						
										, TR						
BR 2	0010148	81		A		2003	0930	- 1	BR	2001-	1488	1		2	0011	022
JP 2	0045162 0030031	50		T2		2004	0603		JP	2002-	5377	44		2	0011	022
ZA 2	0030031	18		Α		2004	0428		ZA	2003-	3118			2	0030	423
NO 2	0030018	38		Α		2003	0624	1	NO	2003-	1838			2	0030	424
US 2	0040637	04		A1		2004	0401			2003-					0031	
PRIORITY	APPLN.	INFO	. :						GB	2000-	2622	4		A 2	0001	026
									GB	2001-	1185	8		A 2	0010	515
								1	WO	2001-	EP12	344	1	w 2	0011	022
OTHER SOU	RCE(S):			MARI	PAT	136:	35524	1								

$$Ar \xrightarrow{0} \prod_{m} \prod_{n} \prod_{m} \prod_{p} \prod_{p} \prod_{n} \prod_{m} 0$$

ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[3-[2-(5-isoxazolyl)phenoxy]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

420785-64-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuznyl)oxy]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

420785-67-7 CAPLUS
Benzonitrile, 2-{4-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-1-piperazinyl]butoxy}- (9CI) (CA INDEX NAME)

420785-68-8 CAPLUS 2H-1, 4-Benzowazin-3(4H)-one, 6-[4-[4-[2-(5-isoxazoly1)phenoxy]buty1]-1-piperazinyl]- (9C1 INDEX NAME)

Page 21

L4 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The title compds. (I; Ar = (un)substituted Ph, naphthyl, a monocyclic or a bicyclic heteroarom. group; when Ar = Ph or a monocyclic heteroarom. group; when Ar = Ph or a monocyclic heteroarom. group, substituents positioned ortho to one another may be linked to form a 5-6 membered ring; Rl = H, alkyl, alkeyl, alkynyl, arylalkyl; R2 = halo, alkyl, CN, CF3, alkanoyl, alkoxy, OH; X = CH, N; Y = a single bond, O, CO; p = 0-2; r = 0-3; n = 2-4; n, q = 1-2], useful as medicaments for various CNS disorders, including depression and/or anxiety, were prepared Thus, reacting 5-(4-piperidinyloxy)-4H-benzo[1,4) oxazin-3-one. HCl with 4-1H-indolyloxyacetaldehyde in the presence of NaEH(OAc) 3 in 1,2-dichloroethane afforded 634 I fAr = 4-indolyl; Rl = H; X = CH; Y = 0; p = 0; q = 1; n, m = 2; r = 0]. All compds. I tested according to the radioligand binding assay were found to have pKi values > 6.0 at 5-HTlA erceptors. 420785-61-1P 420785-62-2P 420785-63-3P 420785-64-4P 420785-67-7P 420785-68-8P 420785-67-9P RL: PAC (Fharmacological activity); SPN (Synthetic preparation); THU

RI: FAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)

(preparation of benzoxazinones as antidepressants and anxiolytics)
420785-61-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[3-(1H-indol-4-yloxy)propyl]-1piperazinyl]- (9CI) (CA INDEX NAME)

420785-62-2 CAPLUS Benzonitrite, 2-13-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-1-piperazinyl]propoxy)- (9CI) (CA INDEX NAME)

420785-63-3 CAPLUS

ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

420785-69-9 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[4-[3-(5-quinolinyloxy)propyl]-1-piperazinyl]- (9C1) (CA INDEX NAME)

420786-50-1P

420786-50-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoxazinones as antidepressants and anxiolytics)
420786-50-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-(1-piperazinyl)-, dihydrochloride (9CI)
(CA INDEX NAME)

●2 HC1

L4 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2001:864749 CAPLUS DOCUMENT NUMBER: 135:371747

DOCUMENT NUMBER: TITLE:

135:371747
Preparation of herbicidal imidazolidinetrione and thioxoinidazolidinediones
Li, Bin: Man, Ying: Zhang, Zongjian: Hsu, Adam Chi-tung
Dow Agrosciences LLC, USA
Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
Patent

INVENTOR (S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA	FENT	NO.			KIN	D	DATE	2	AP.	PLICAT	TION 1	10.		D	ATE	
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	EP	1157	/991			A2			1128	EP	2001-	-3032	19		2	0010	405
	EP	1157	7991			A3		2001	1205								
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			IE,	SI,	LT,	LV,	FI,	, RO									
	US	6444	615			B1		2002	0903	US	2000-	-5513	45		2	0000	418
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	JP	2001	3546	60		A2		2001	1225	JP	2001-	1194	13		2	0010	418
RI	ORIT	Y API	LN.	INFO	. :					US	2000-	-5513	45	7	. 2	0000	418
гн	ER S	OURCE	(S):			MARI	PAT	135:	3717	47							

1-Substituted-phenyl-3-substituted-2-thioxo-4,5-imidazolidinediones and 2,4,5-imidazolidinetriones I [R = H, alkyl, cycloalkyl, alkynyl, etc., X1 = H, halo; X2 = halo, cyano, NO2; X3 = haloalkyl, alkoxy, alkylcarbonyl, etc., X4 = H, halo; W = 0, X|, which have activity as herbicides, were prepared E.g., herbicidal activity of I with four monocot weeds, four dicot weeds and one sedge weed were tested. E.g., 1-(2-fluoro-4-chloro-5-methomycarbonylphenyl)-3-isopropyl-2,4,5-imidazolidinetrione was prepared 374718-07-79 374718-11-39 374718-13-59 374718-10-29 374718-11-39 374718-13-59
374718-14-69 374718-11-39 374718-13-59
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study); PREP (Preparation); USES (Uses) (preparation of herbicidal imidazolidinetrione and thioxoimidazolidinediones) 374718-07-7 CAPLUS

ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 374718-11-3 CAPLUS 4,5-Inidazolidinedione, 1-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propenyl)-2H-1,4-benzoxazin-6-yl]-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

374718-13-5 CAPLUS

4,5-Imidazolidinedione, 1-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo-(9CI) (CA INDEX NAME)

374718-14-6 CAPLUS
4,5-Imidazolidinedione, 1-(4-butyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

374718-15-7 CAPLUS
4,5-Imidazolidinedione, 1-ethyl-3-[7-fluoro-3,4-dihydro-4-(methoxymethyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-2-thioxo- (9CI) (CA INDEX NAME)

<03/01/2005>

4,5-Inidazolidinedione, 1-ethyl-3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-2-thioxo- (9CI) (CA INDEX NAME)

Page 22

ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-y1]methyl- (9CI) (CA INDEX NAME)

CAPLUS

CN Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]propyl- (9CI) (CA INDEX NAME)

374718-09-9 CAPLUS Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl] (2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

374718-10-2 CAPLUS
4,5-Imidazolidineione, 1-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo- (SCI) (CA INDEX NAME)

L4 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

TITLE:

135:357896

New 1-ary1-4-(biarylmethylene)piperazines as potential atypical antipsychotics sharing dopamine D2-receptor and serotonin 5-HT1A-receptor affinities
Feenstra, R. W., de Moes, J., Hofma, J. J., Kling, H., Kuipers, W.; Long, S. K., Tulp, H. T. H., van der Heyden, J. A. H., Kruse, C. G.
Research Laboratories, Solvay Pharmaceuticals, Weesp, 1390 DA, Neth. AUTHOR(S):

CORPORATE SOURCE:

Bloorganic & Hedicinal Chemistry Letters (2001), 11(17), 2345-2349 CODEN: EMCLES; ISSN: 0960-894X Bloovier Science Ltd. SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

MENT TYPE:

Journal

WAGE:

Elsevier Science Ltd.

Journal

WAGE:

English

R SOURCE(s):

CASREACT 135:357896

1-Avyl-4-(biarylmethylene)piperazines were prepared and their effinity for D2 and 5-HTIA receptors was determined. A selection of these compds, was evaluated in vivo, resulting in the identification of a drug candidate which is being clin. evaluated as a potential atypical antipsychotic with reduced extrapyrimidal side effects.

197954-64-69

RL: BAC (Balonica)

197934-64-09
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 1-aryl-4-(biarylmethylene)piperazines as potential

ical
antipsychotics sharing dopamine D2-receptor and serotonin
5-HTlA-receptor affinities)
15-HTlA-receptor affinities)
28-14-48-parcoxazin-3(4H)-one, 8-[4-([1,1'-biphenyl]-3-ylmethyl)-1piperazinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2001:152642 CAPLUS DOCUMENT NUMBER: 134:193447 TITLE: New Phoculai

INVENTOR(S):

134:193447
New phenylpiperazines
Van Hes, Roelof; Van Der Heijden, Johannes A. M.;
Kruse, Cornelis G.; Tipker, Jacobus; Tulp, Martinus T.
M.; Visser, Gerben M.; Van Vilet, Bernard J.
Solvay Pharmaceuticals B.V., Neth.
PCT Int. Appl., 26 pp.
CODEN: PIXXD2

NL 1999-1012888 WO 2000-EP8190

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. | No. | Sind | Date | APPLICATION NO. | Date | KIND DATE APPLICATION NO. DATE WO 2001014330 WO 2001014330 CF, CC CA 2379021 BR 2000013498 EP 1212320 EP 1212320 A2 20020612 EP 2000-962355 200009822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, PI, RO, MK, CY, AL
TR 200200460 T2 20020621 TR 2002-200200460 20000822
JP 2003507454 T2 20030225 JP 2001-518420 20000822
NZ 517900 A 20030829 NZ 2000-517900 20000822
NZ 517909 BE 2 20040408 AU 2000-74118 20000822 AU 2000074118 20010319 RU 2002-107318 NO 2002-810 ZA 2002-1829 EP 1999-202710 RU 2246494 NO 2002000810 20050220 20000822 20020219 20020219 ZA 2002001829 20030605 20020305

GΙ

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ANSWER 8 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant): RACT (Reactant or reagent)
(prepn. of 1-aryl-4-(biarylmethylene)pjperazines as potential atypical
antipsychotics sharing dopamine D2-receptor and serotonin
5-HTIA-receptor affinities)
105685-36-7 CAPLUS

2H-1.4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Phenylpiperazines such as I were prepared Thus, I was prepared in 57% yield by refluxing 13.6 mmol II with 15.1 mmol 5-fluoroindole derivative III, 2 mL Et3N, and a catalytic amount of KI in 100 mL MeCN for 18 h. 327026-98-9 327027-00-9

RL: RCT (Reactant): RACT (Reactant or reagent)
(arylpiperazine preparation)
327026-92-6 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-methyl-8-(1-piperazinyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

19990823

A 19990823 W 20000822

327026-95-9 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-2,4-dimethyl-8-(1-piperazinyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PRIORITY APPLN. INFO.:

327027-00-9 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

327026-93-7P 327026-96-0P 327027-01-0P
327027-05-4P 327027-06-5P 327027-07-6P
327027-08-7P 327027-09-8P 327027-17-8P
327027-18-9P 327027-19-0P
RL: SPN (Synthetic preparation), PREP (Preparation)
(asylpiperazine preparation)
327026-93-7 CAPLUS
2H-1,4-Benzowazin-3(4H)-one, 8-[4-[3-(5-fluoro-1H-indol-3-yl)propyl]-1piperazineyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

327027-05-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(1H-indol-3-y1)propy1]-1-piperaziny1]-2-nethyl-, (2R)- (9Ci) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

327027-06-5 CAPLUS 2H-1, 4-Benzowazin-3(4H)-one, 8-[4-[3-(1H-indol-3-yl)propyl]-1-piperazinyl]-2-methyl-, (2S) - [9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

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L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

327026-96-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-8-[4-[3-(5-fluoro-1H-indol-3-yl)propyl]-1-piperazinyl]-2,4-dimethyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

327027-01-0 CAPLUS 2H-1, 4-Benzowazin-3 (4H) -one, 8-[4-[3-(5-fluoro-1H-indol-3-y1)propy1]-1-piperaziny1]-2,2-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

327027-07-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(5-fluoro-1H-indol-3-y1)propyl]-1-piperazinyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

327027-08-7 CAPLUS
2H-1/4-Benzoxatin-3(4H)-one; 8-[4-[3-(7-fluoro-lH-indol-3-yl)propyl]-1piperazinyl]-2-methyl-, (2R)- (9CI) (CA INDEK NAME)

Absolute stereochemistry. Rotation (-).

327027-09-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(7-fluoro-1H-indol-3-yl)propyl]-1-piperazinyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

327027-17-8 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 8-[4-[3-(1H-indol-3-yl)propyl]-1-piperazinyl]-2,4-dimethyl-, (ZR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

327027-18-9 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(5-fluoro-1H-indol-3-yl)propyl]-1-piperazinyl]-2,4-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

327027-19-0 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 8-[4-[3-(1H-indol-3-yl)propyl]-1-piperazinyl]-2,4-dimethyl-, (2S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L4 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1999:680067 CAPLUS DOCUMENT NUMBER: 131:296614 Intelligent Containing fused imit NVENTOR(s): Kondo, Yasuus Mizukoshi, Takash

131:296514

Herbicides containing fused imidazolinone derivatives Kondo, Yasuor Mizukoshi, Takashi; Akiyama, Shigeaki; Watanabe, Shigeomi; Akiyoshi, Chiaki; Oki, Susumu Nissan Chemical Industries, Ltd., Japan Jpn. Kokai Tokkyo Koho, 75 pp.
CODEN: JOXCAF
Patent
Japanese

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 11292720 A2 19991026 JP 1998-101428 JP 1998-101428 19980413 PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI MARPAT 131:296514

 $\ensuremath{\mathsf{AB}}$ Agrochems., and especially new herbicides, contain fused imidazolinone derivs.

vs. (e.g., I). Thus, in a greenhouse pot experiment I at 10 g/are gave ≥90% control of Echinochloa crus-galli, Scirpus juncoides, and Monochoria vaginalis with almost no damage to rice. Preparative examples and formulations are given. 247181-48-2

RI: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

USES (Uses)
(preparation and herbicidal efficacy of)
(preparation and herbicidal efficacy of)
247181-48-2 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[1,2-dihydro-2-oxo-1-(2-propenyl)-3H-imidazo[4,5-b]pyridin-3-yl]-7-fluoro-4-(2-propynyl)- (9CI) (CA INDEX NAME)

н2с=сн-

ANSWER 10 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
247181-46-0P 247181-47-1P
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation and herbicidal use of)
247181-46-0 CAPLUS
ZH-1,4-Benzoxazin-3(4K)-one, 6-{1-(difluoromethyl)-1,2-dihydro-2-oxo-3H-imidazo(4,5-b)pyridin-3-yi]-7-fluoro-4-(2-propynyl)- (SCI) (CA INDEX NAME)

24-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[1-(3-fluoropropyl)-1,2-dihydro-2 oxo-3H-imidazo(4,5-b)pyridin-3-yl}-4-(2-propynyl)- (9CI) (CA INDEX NAME)

ΙŤ 247181-57-39
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of)
247181-57-3 CAPUS
247181-57-3 CAPUS
247181-57-1 (APUS
247181-7-fluoro-4-(2-propynyl)- (9CI) (CA INDEX NAME)

ANSWER 11 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) study, unclassified), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (prepn. of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists) 221193-80-2 CAPLUS Eenzamide, N-[(1R)-2-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-y1)-1-piperazinyl]-1-methylethyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

105685-36-7
RR: RCT (Reactant), RACT (Reactant or reagent)
(preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1
receptor agonists)
105685-36-7 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

221194-17-8P 221194-19-OP
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1
receptor agonists)
221194-17-8 CAPLUS
Carbamic acid, [(1R)-2-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1piperazinyl]-1-methylethyl]-, phenylmethyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry. <03/01/2005>

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L4 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:176950 CAPLUS
130:223299
TITLE: Preparation of 5-piperazinotetrahydroquinolines and analogs as 5-H1 receptor agonists
INVENTOR(5): Feenstra, R. W., Visser, G. H., Kruse, C. G., Tulp, M.
T. M.; Long, S. K.
Duphar International Research B.V, Neth.
SURCE: COEDE: EFEXCHW
DOCUMENT TYPE: Patent
LANGUAGE: Endish

DOCUMENT TYPE: English

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE EP 900792 EP 900792 R: AT, BE, CH, IE, SI, LT, AT 253058 CA 2246126 JP 11147871 US 6214829 PRIORITY APPLN. INFO.: OTHER SOURCE(S): 19990310 A1 B1 EP 1998-202832 19980824 A1 19990310 EP 1998-202832 19980824
B1 20031029
DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LV, FI, RO
E 20031115 AT 1998-202832 19980828
AA 19990302 CA 1998-2246126 19980828
A2 19990602 JP 1998-259105 19980831
B1 20010410 US 1998-144076 19980831 AT 1998-202832 CA 1998-2246126 JP 1998-259105 US 1998-144076 EP 1997-202704 19980824 19980828 19980831 19980831 19970902 MARPAT 130:223299

$$\begin{array}{c|c} R^1 & & \\ & & \\ & & \\ R^3 & & \\ &$$

Title compds. [I; Q = CH2CRSR6ZR7; R,R3,R4 = H or alkyl; R1 = H or F; R2 = H, alkyl, oxo (sic); RR2 = bond; RS,R6 = H, alkyl, alkylphenyl; R7 = cyclic group (sic), (hetero)aryl, admantyl, etc.; T = N or C (sic); Y = C, O, N, or S (sic); Z = CH2O, CH2CO, NHCO, etc.; $Z1 = (CR^*)p$; R^* ' = H or alkyl; Z2 = (CH2)n; n = 1 or Z = 0.4 cashed lines = optional bond(s)] were prepared Thus, 5 = (1-piperazinyl) - 1, 2, 3, 4 - tetrahydroquinoline was alkylated by C1(CH2) 3COC6H6F-4 to give I (Q = (CH2) 3COC6H6F-4; <math>R - R4 = H, T = N, Y = 21 = 2 = CH2, dashed lines = null]. Data for biol. activity of I were given. 221193-80-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological ΙT

L4 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

221194-19-0 CAPLUS 2H-1, 4-Benzowazin-3(4H)-one, 8-[4-[(2R)-2-aminopropyl]-1-piperazinyl]-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 1998:485181 CAPLUS
DOCUMENT NUMBER: 129:119080
Methods of conferring resistance to herbicides inhibiting protoporphyrinogen biosynthesis to crop plants
INVENTOR(S): Boynton, John E., Gillham, Nicholas W., Randolph-Anderson, Barbara L., Ishige, Fumiharu, Sato, Rvo

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. CO PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	Al 19980709	WO 1996-US20415	19961227
W: AU, CA, JP,	US		
RW: AT, BE, CH,	DE, DK, ES, FI,	FR, GB, GR, IE, IT, LU,	MC, NL, PT, S
CA 2276053	AA 19980709	CA 1996-2276053	19961227
AU 9714298	Al 19980731	AU 1997-14298	19961227
AU 739948	B2 20011025		
EP 1007703	A1 20000614	EP 1996-944519	19961227
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, FI			
JP 2002528036	T2 20020827	JP_1998-529941	19961227
PRIORITY APPLN. INFO.:		WO 1996-US20415	A 19961227
		US 1997-48303P I	P 19970530
OTHER SOURCE(S):	MARPAT 129:11908	0	

US 1997-48303P P 19970530

R SOURCE(S): MARPAT 129:119080

Genes for herbicide-resistant Variants of protoporphyrinogen oxidase are described for use in creating herbicide-resistant crop plants. Resistance to these herbicides should allow for simpler and more effective weed management, and increase the value of these herbicides for agricultural use. The Chlamydomonas reinhardti gene for protoporphyrinogen oxidase is identified and herbicide-resistance alleles created. Protoporphyrinogen oxidase genes of Chlamydomonas reinhardti and Arabidopsis thaliana were cloned by complementation of a hemG mutant of Escherichia coli. In

tion, the present invention provides methods to evaluate the inhibitory effects of test compds. on protoporphyrinogen oxidase activity, as well as methods to identify protoporphyrinogen oxidase inhibitors among test compds. Preferred cloned DNA fragments encoding protoporphyrinogen oxidase enzymes resistant to porphyric herbicides are also described. 123249-72-9

PIL AGD (Agricultural weak, BOL) (Biological study); MSES (Mass)

123249-72-9
RL: AGR (Agricultural use): BIOL (Biological study): USES (Uses)
(plant resistance to: methods of conferring resistance to herbicides
inhibiting protoporphyrinogen biosynthesis to crop plants)
123249-72-9 CAPUS
Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1998:13963 CAPLUS DOCUMENT NUMBER: 128:61517

TITLE:

128:61517

Herbicidal bicyclic hydantoin derivatives,
Intermediates and process for their preparation
Hirai, Kenjir Yano, Tomoçvukir, Okano, Natsukor, Ikemoto,
Kazuhisay Yoshii, Tomokor Ugai, Sadayukir, Ueda, Takuya
Sagami Chemical Research Center, Japanr Karen
Pharmaceutical Co., Ltd.
PCT Int. Appl., 72 pp.
CODEN: PIXXD2
Patent
Japanese
1 INVENTOR(S):

PATENT ASSIGNED(S):

SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9747626	Al 19971218	WO 1997-JP2046	19970613
W: AU, BR, CA,	CN, JP, KR, US		
RW: AT, BE, CH,	DE, DK, ES, FI, F	R, GB, GR, IE, IT, LU	, MC, NL, PT, SE
AU 9731069	A1 19980107	AU 1997-31069	19970613
PRIORITY APPLN. INFO.:		JP 1996-154563	A 19960614
		WO 1997-JP2046	W 19970613
OTHER SOURCE(S):	CASREACT 128:6151	7: MARPAT 128:61517	

AB The title compds. I [X = 0, S; A = 0, etc.; X1 = H, halo; X2 = H, halo, alkyl, etc.; X3 = H, halo, alkyl, nitro, etc.] are prepared by, e.g., reacting an aryl isocyanate derivative with a dehydro(thio) morpholinecarboxyli cacid derivative Reaction of 5-allyloxy-4-chloro-2-fluorophenylisocyanate with Me 2,3-dehydromorpholine-3-carboxylate in toluene containing triethylamine gave the title compound II in 63% yield. II (at 2.5 g/are) gave 75% control of Echinochloa crusgalli and caused < 25% damage to corn. IT 200425-13-69 200425-16-79 200425-17-69

<03/01/2005>

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ANSWER 12 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); IMF (Industrial
manufacture); SFN (Synthetic preparation); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of hicyclic hydantoin derivs. as herbicides)
200425-13-4 CAPLUS
H1-Hmidago(5,1-c)[(1,4]oxazine-1,3(2H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxoZH-1,4-benzoxazin-6-y1)-5,6-dihydro-(9CI) (CA INDEX NAME)

200425-15-6 CAPLUS
1H-Imidazo[5,1-c][1,4]oxazine-1,3(2H)-dione, 2-(7-fluoro-3,4-dihydro-4-methyl-3-oxo-ZH-1,4-benzoxazin-6-yl)-5,6-dihydro-(9CI) (CA INDEX NAME)

200425-16-7 CAPLUS
1H-Inidazo[5,1-e][1,4]oxazine-1,3{2H}-dione, 2-[7-fluoro-3,4-dihydro-3-oxo
4-(2-propenyl)-2H-1,4-benzoxazin-6-yl]-5,6-dihydro- (9CI) (CA INDEX NAME)

200425-17-8 CAPLUS
1H-Imidazo[5,1-o][1,4]oxazine-1,3(2H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-5,6-dihydro-(9CI) (CA INDEX NAME)

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L4 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

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L4 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1997:679080 CAPLUS DOCUMENT NUMBER: 127:331506

TITLE:

12:13:1506
Preparation of 1-biphenylylmethyl-4heteroarylpiperazines and analogs as nervous system heteroarylpiperazines and analogs as nervous system agents
Feenstra, Roelof Willem: Kruse, Cornelis Gerrit: Tulp, Martinus Theodorus Maria: Kuipers, Wilma: Long, Stephen Kenneth: et al. Duphar International Research B.V., Neth. PCT Int. Appl., 30 pp. CODEN: PIXXD2
Patent INVENTOR (S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
						-									-		
WO	973	6893			A1		1997	1009	,	WO 1	997-	EP 14	61		1	9970	320
	W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	ÌΚΕ,	KG,	KP,	KR,	KZ.
		LC.	LK.	LR.	LS.	LT.	LU,	LV.	MD.	MG.	MK.	MN.	MW.	MX.	NO.	NZ.	PL.
							SG,										
							KG,						,		,		,

PT, RO, RU, SD, SE, SG, SI, SK, TJ, TH, TR, TT, UA, UG, US, UZ, VN, YU, MA, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, LT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, RI, MR, NE, SN, TD, TG

CA 2250347

AU 9720294

AI 19971022

AI 19971022

AI 19970320

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

CN 1215400

A 19990428

CN 1100055

B 20030129

ER 9708389

A 20000104

BR 1997-8389

IP970320

CN 1100055

B 20030129

ER 9708389

A 20000104

BR 1997-8389

IP970320

IR 9801942

TZ 20000821

RU 2178414

C2 20002012

RU 1998-11952

RU 2178414

C2 20002012

RU 1998-11952

RU 2178413

B6 20041215

CZ 234413

B6 20041215

CZ 294413

B6 20041215

CZ 1998-3068

R 200000541

R 1997-8100005

R 1997-8100005

R 1998-119523

R 290000541

R 1999-156608

R 19990320

FR 1990-156608

R 19990320

CT 1997-151608

CT 1997-151608

CT 1997-151608

CT 1997-151608

R 1999-155608

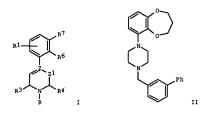
R 19990320

CT 1997-151608

CT 1997-15160 BR 1997-8389
NZ 1997-331860
JP 1997-534886
TR 1998-9801942
RU 1998-11952
ZZ 1998-3068
ZA 1997-2639
W1997-86104056
NO 1998-4533
KR 1998-708145
US 1999-155608
W0 1997-E71461 19970320 19970320 19970320 19970320 19970320 19970326 19970326 19980929 19980929 19980304 19960329 19970320

MARPAT 127:331506 OTHER SOURCE(S):

L4 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



<03/01/2005>

Title compds. [I: R = CH222R5; R1 = H or F; R3, R4 = H or alkyl; R5 = (un) substituted Ph, -furyl, -thienyl; R6R7 = atoms to complete a (un) substituted heterocyclic ring; Z = C or N; Z1 = CH2 or CH2CH2; Z2 = 1,3-phenylene; dashed line = bond when Z = C and = null when Z = N] were prepared Thus, 1-(3,4-dihydrobenzodioxepin-6-yl)piperazine was condensed with 3-bromomethylbiphenyl to give title compound II. Data for biol. activity of I were given.

197954-64-69 ΙT

197954-64-69
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (preparation of 1-biphenylylmethyl-4-heteroarylpiperazines and analogs as nervous system agents)
197954-64-6 CAPUS
2H-1,4-Benzoxazin-3(H)-one, 8-[4-([1,1'-biphenyl]-3-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

L4. ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1997:547276 CAPLUS DOCUMENT NUMBER: 127:149151

127:149151
Preparation of N-phenylimidazolones as herbicides
Kilama, John Jolly
E. I. Du Pont de Nemours & Co., USA
U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 109,875,
abandoned.
CODEN: USXXAM
Patent TITLE:

INVENTOR(S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: Patent English 2

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA1	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
						-									_		
US	564	3855			A		1997	0701		US 1	995-	4541	55		1	9950	615
CA	215	1816			AA		1994	0707		CA 1	993-	2151	816		1	9931	207
WO	941	4817			A1		1994	0707		WO 1	993-	US11	636		1	9931	207
	W:	AU,	BB,	BG,	BR,	BY,	CA,	CZ,	FI,	HU,	JP,	KP,	KR,	KZ,	LK,	LV,	MG,
		MN,	MW.	NO,	NZ,	PL,	RO.	RU,	SD,	SK.	UA,	US.	US,	US,	US,	UZ,	VN
	DIT	. 3.T	DE.	CTIT	DE.	DIE	TC.	TPD.	CD	CD.	T 10	* **	***	ма	ATT	DOT!	CE

AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NIL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, ML, MR, NE, SN, TD, TG US 1992-992880 B2 19921221 US 1993-73010 B2 19930604 US 1993-66526 B2 19930762 B2 19921221 B2 19930604 B2 19930722 B2 19930820 W 19931207 PRIORITY APPLN. INFO.:

US 1993-109875 WO 1993-US11636 19931207

OTHER SOURCE(S): MARPAT 127:149151

Compds. such as Formula [1, Q = (un) substituted 2-halophenyl, benzene ring-condensed heterocyclyl; R1 = H, alkyl, haloalkyl, halo; R2 = (un) substituted C1-2 alkyl, CO2H, CONH2, or 5(0) nNH2, cyano, etc.; wherein n = 0-2; or R1 and R2 can be taken together along with the carbon to which they are attached to form C:CCCCQH, C:CMACOZH, C:CEECOZH, or esters thereof, N-(un) substituted C:CHCONH2, C:CHeCONH2, or C:CEECONH2, G = CH,

Relative stereochemistry.

193342-22-2 CAPLUS
1H-Inidazo[5,1-c][1,4]oxazine-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dhydro-3-oxo-2H-1,4-benzoxazin-6-yl)hexahydro-1-oxo-, ethyl ester, trans-(9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1) octahydro-1-oxo-, ethyl ester, (3α , $5a\alpha$, $6a\alpha$, $6b\beta$)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-27-7 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,
2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)octahydro-1-oxo-, ethyl ester, (3a,5aβ,6aβ,6ba)- (9CI) (CA

Relative stereochemistry.

193342-28-8 CAPLUS
1H-Inidazo[5,1-c][1,4]oxazine-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-methylproyyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-29-9 CAPLUS
1H-Imidazo(5,1-c][1,4]oxazine-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-<03/.01/2005>

Page 29

ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

193342-24-4 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-охо-2H-1,4-benzoxazin-6-y1)octahydro-1-oxo-, ethyl ester, (3a,5aβ,6aβ,6bβ)- (9CI) (CA INDEX

193342-25-5 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,
2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)octahydro-1-oxo-, ethyl ester, (3\alpha,5\alpha\alpha,6\alpha\alpha)- (9CI) (CA
INDEX NAME)

Relative stereochemistry.

193342-26-6 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,

ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (2-methylpropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, cis- (9C1) (CA INDEX NAME)

Relative stereochemistry.

193342-31-3 CAPLUS
1H-Pyrrolo[1,2-0]imidazole-3-carboxylic acid, 2-{7-fluoro-3,4-dihydro-4-{2-methylpropyl}-3-cxc-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-32-4 CAPLUS

IH-Pyrrolo[1,2-o]midazole-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-{2-methylpropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, trans- (SCI) (CA INDEX NAME)

Relative stereochemistry.

193342-33-5 CAPLUS
IM-Pyrrolo[1,2-6]imidazole-3-carboxylic acid, 2-{4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}hexahydro-1-oxo-, ethyl ester, trans-(SCI) (CA INDEX NAME)

Habte

L4 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Relative stereochemistry.

193342-34-6 CAPLUS

IH-Pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-{4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}hexahydro-1-oxo-, ethyl ester, cis-{9Cl} (CA INDEX NAME)

Relative stereochemistry.

ANSWER 16 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

187750-21-6 CAPLUS
1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-6-hydroxy- (9CI) (CA INDEX NAME)

187750-22-7 CAPLUS
1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6,6-difluoro-2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-, (R)-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

Page 30

L4 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1997:196176 CAPLUS DOCUMENT NUMBER: 126:196422 DOCUMENT NUMBER: TITLE: 120:190622 Preparation of bicyclic imides as herbicides Schafer, Matthias; Drauz, Karlheinz; Feit, Dieter, Amuti, Kofi S. INVENTOR (S): Amuti, KOII 5.
B. I. Du Pont de Nemours & Co., USA
U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 942,800, abandoned PATENT ASSIGNEE (S): CODEN: USXXAM DOCUMENT TYPE: LANGUAGE: Patent FAMILY ACC. NUM. COUNT:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5605877 A 19970225 US 1995-397282 19950310
W0 9405668 A1 19940317 W0 1993-EP2413 19930906
W: AU, BB, BG, BB, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, FL, RO, RU, SD, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GM, ML, MR, ME, SN, TD, TG
DE 9321642 U1 20011213 DE 1993-9321642 19930906
PRIORITY APPIN. INFO:: US 1992-942800 E2 19920910
W0 1993-EP2413 W 19930906
OTHER SOURCE(S): HARFAT 126:196422

OTHER SOURCE(S): MARPAT 126:196422

PATENT INFORMATION:

The title compds. I [Q = (un)substituted Ph, 2-phenyldioxolane, benzodioxole, etc.; R = OH, halo, alkyl, CN, etc.; m = 1-7] are prepared as herbicides. I may be used, i.a., in pre-emergence application to peanut. 187780-20-5p 187750-21-6P 187750-22-7p RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation as herbicide) 187750-20-5 CAPLUS (PREPARATION) USES (Uses) (Preparation); BIOL (Biological study); PREPARATION (PREPARATION) (PREPARATION (PREPARA

Absolute stereochemistry.

L4 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1996:531796 CAPLUS DOCUMENT NUMBER: 125:167985

125:167985
Hydantoin derivatives, process for producing the same and herbicides comprising the same as active TITLE:

and herbicides comprising the same as active ingredients
Hirai, Kenji; Yano, Tomoyuki; Okano, Natuko; Ugai, Sadayuki; Yamada, Osamu
Sagami Chemical Research Center, Japan; Kaken
Pharmaceutical Co., Ltd.
PCT Int. Appl., 111 pp.
CODEN: PIXXD2
PARATE INVENTOR(S):

PATENT ASSIGNER(S):

SOURCE:

DOCUMENT TYPE: Patent

Japanese LANGUAGE:

LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9620195	A1 19960704	WO 1995-JP2683	19951226
W: AU, BR, CA,	CN, KR, US		
	DE, DK, ES, FR,	GB, GR, IE, IT, LU, MC,	NL, PT, SE
CA 2208263	AA 19960704	CA 1995-2208263	19951226
AU 9643157	A1 19960719	AU 1996-43157	19951226
AU 692030	B2 19980528	1	
JP 09040673	A2 19970210	JP 1995-338383	19951226
EP 801068	A1 19971015	EP 1995-941888	19951226
R: AT, CH, DE,	ES, FR, GB, GR,	IT, LI, PT, IE	
BR 9510107	A 19971125	BR 1995-10107	19951226
CN 1175255	A 19980304	CN 1995-197677	19951226
US 5883049	A 19990316	US 1997-836154	19970818
PRIORITY APPLN. INFO.:		JP 1994-324536	A 19941227
		JP 1995-122054	A 19950522
		WO 1995-JP2683	W 19951226
OTHER SOURCE(S):	CASREACT 125:16	7985: MARPAT 125:167985	

OT:

Novel 2-phenyl-5,6-dihydroimidazo[1,5-s]pyridine-1,3(2H,7H)-dione derivs.

(I; X = 0, S; X1 = H, halo, Cl-8 alkyl; X2 = H, halo, Cl-8 alkyl,
Y-CHRICOZR; X3 = H, halo, Cl-8 alkyl; Z83, NG2, NR4K5; or XZX3 =
Y-CHRICOXR6; wherein Y, Z = 0 or S; R1 = H, Cl-4 alkyl; R2 = Cl-6 alkyl,
aralkyl; R3 = H, Cl-11 alkyl, C3-8 cycloalkyl, C3-12 alkenyl or alkynyl,
Cl-8 alkoxycarbonylmethyl or alkoxycarbonyl; C7-11 aralkyloxycarbonyl; R4,
R5 = H, Cl-6 alkyl, C2-6 acyl, Cl-6 alkylsulfonyl, arylsulfonyl; R6 = H,
Cl-11 alkyl, C3-8 cycloalkyl, C3-12 alkenyl or alkynyl), having excellent
herbicidal activities, are produced by reacting aryl isocyanate derivs.
represented by general formula (Ii; X = 0, S; X1, X2a = H, halo, Cl-8
alkyl; X3a = H, halo, Cl-8 alkyl, ZR3a, NO2, NR4RSb; R3a = Cl-11 alkyl,
C3-8 cycloalkyl, C3-12 alkenyl or alkynyl, Cl-8 alkoxycarbonylmethyl or

L4 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkoxycarbonyl, C7-11 aralkyloxycarbonyl, R4a, R5b = C1-6 alkyl, C2-6 acyl, C1-6 alkylsulfonyl, arylsulfonyl), with a dehydropipecolinic acid deriv. represented by general formula (111) R7 = H, C1-6 alkyl). Thus, a soln. of 4-chloro-5-cyclopentyloxy-2-fluorophenyl isocyanate and Bt3N in toluene was added droprise to a soln. of 111 R7 = Et) in toluene under ice-cooling and stirred at the same temp. for 30, at room temp. for 7 h, at 60' for 1 h, and at 80' for 1 h to give I (X = 0, X1 = F, X2 = C1, X3 = cyclopentyl). I (X = 0, X1 = F, X2 = C1, X3 = d) at 0.1, 0.25, and 0.5/are (postemergence application in flooded soil) inhibited 100% the growth of rice paddy weeds such as Echinochloa crus-galli, Cyperus difformis, broad leaf weed, Monochoria vaginalis, Scippus juncoides, and Eleocharis acicularis and 25-38% the growth of rice seedlings.

IT 180533-07-7P 180533-08-8P 180533-09-9P 180533-10-2P

180533-10-2

180533-10-2P
REL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SFN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of phenyldihydroimidazopyridinedione derivs. as herbicides): 180533-07-7 CAPUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)-6,7-dihydro- (9CI) (CA INDEX NAME)

180533-08-8 CAPLUS Imidaxo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4 (2-propenyl)-2H-1,4-benzoxazin-6-yl]-6,7-dihydro- (9CI) (CA INDEX NAME)

Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-6,7-dihydro-(9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1996:190874 CAPLUS DOCUMENT NUMBER: 124:261061

TITLE:

124:261061
Preparation of 2-phenyl-7-chloroperhydroimidazo[1,5-alpyridine herbicides for controlling undesired weeds Seckinger, Karli Mohanty, Sasank Sekhar; Milzner, Karlheinz; Kuhnen, Fred Sandoz Ltd., Switz.; Sandoz-Patent-GmbH; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H. Eur. Pat. Appl., 24 pp. CODEN: EPXXDW

INVENTOR (S):

PATENT ASSIGNER(S):

SOURCE:

DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE EP 688773 EP 688773 A1 B1 19951227 EP 1995-810410 19950620 19980520 R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE US 5665681 A 19970909 US 1995-492607 19950621 JP 08053449 A2 19960227 JP 1995-154600 19950621 NITY APPLN. INFO.: GB 1994-12603 A 19940623 JP 08053445 PRIORITY APPLN. OTHER SOURCE(S): GI CASREACT 124:261061; MARPAT 124:261061

The title compds. (1) X = 0, S: R = H, Cl, F: Rl = F, Cl, Br, CN, Me: R2 - halogen, C 1-6 alkyl, Cl-6 alkoxy, Cl-6 alkylcarbonyloxy, C3-6 cycloalkoxy, C3-6 alkynyloxy, C3-6 alkeyloxy, C2-6. Bikeyloxy, C2-6 alkeyloxy, C2H, etc.), useful as herbicides for the control of undesired weeds, are prepared Thus, 4-chloro-2-piperidinecarboxylic soid Me seter hydrochloride was reacted with the isocyanate of Me 2-chloro-4-fluoro-5-aninorinnamate, producing herbicidal Me 2-chloro-4-fluoro-5-(7-chloroperhydroimidazo[1,5-a]pyridine-1,3-dione-2-yl]cinnamate, m.p. 162-163*
174798-43-7P 174798-44-8P 174798-45-3P
174798-30-P 174798-51-7P 174798-49-3P
174798-30-P 174798-54-0P 174798-52-2P
174798-36-2P 174798-55-5P
174798-56-2P 174798-59-59
RIX AGR (Agricultural use); SFN (Synthetic preparation); BIOL (Biological

ΙT

<03/01/2005>

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ANSWER 17 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-{7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-6,7-dihydro- (9CI) (CA INDEX NAME)

ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-(7-fluoro-3,4-dihydro-3ow-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

Liefus -45-9 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propenyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

2H-1,4-Benzoxazin-3(4H)-one, 6-(7-chlorohexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-y1)-7-fluoro-4-(2-propyny1)- (9CI) (CA

174798-47-1 CAPLUS Indiazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-[7-fluoro-3,4-dihydro-2-methyl-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-(9CI) (CA INDEX NAME)

Habt.e

ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

174798-49-3 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-(7-fluoro-3,4-dihydro-4(2-methyl-2-propenyl)-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

174798-50-6 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-{4-(2-bromo-2-propenyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-7-chlorotetrahydro- (9CI) (CA INDEX NAME)

174798-51-7 CAPLUS

1/4/98-51-/ CAPUS
-2-Butenoic acid, 4-[6-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-7-fluoro-2,3-dioydro-3-oxo-4H-1,4-benzoxazin-4-yl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
174798-55-1 CAPLUS
Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione,7-chloro-2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}tetrahydro-(9CI) (CA INDEX NAME)

174798-56-2 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-(7-fluoro-3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)tetrahydro- (9CI) (CA INDEX NAME)

174798-59-5 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-(4-ethoxy-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)tetrahydro- (9CI) (CA INDEX NAME)

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L4 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

174798-52-8 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[4-(3-bromo-2-propenyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-7-chlorotetrahydro-(CA INDEX RAME)

174798-53-9 CAPLUS
Imidazo[1,5-a]pyridine-1,3{2H,5H}-dione, 2-{4-(2-butenyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}-7-chlorotetrahydro- (9CI) (CA INDEX NAME)

174798-54-0 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2M,5H)-dione, 7-chloro-2-[4-(2-chloro-2-propenyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro-(9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11995:994364 CAPLUS
124:87028
Herbic(dal tricyclic heterocycles and bicyclic ureas
Kiana, John Jolly
du Pont de Nemours, E. I., and Co., USA; Degussa
Aktiengesellschaft
SOURCE:
PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	KIND DATE	APPLICATION NO.	DATE
		WO 1995-US1502	19950210
		CN, CZ, EE, FI, GE,	
		MG, MN, MX, NO, NZ,	
SK, TJ, TT,	UA, US, UZ, VN		
RW: KE, MW, SD,	SZ, UG, AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT,
LU, MC, NL,	PT, SE, BF, BJ,	CF, CG, CI, CM, GA,	GN, ML, MR, NE,
` SN, TD, TG			
CA 2183328	AA 19950824	CA 1995-2183328	19950210
AU 9518714	A1 19950904	AU 1995-18714	19950210
AU 678896	B2 19970612		
EP 745084	A1 19961204	EP 1995-910926	19950210
R: DE, ES, FR,	GB, IT		
US 5700761	A 19971223	US 1996-693107	19960815
PRIORITY APPLN. INFO.:		US 1994-197085	A2 19940216
		WO 1995-US1502	W 19950210
OTHER SOURCE(S):	MARPAT 124:8702	8 .	

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

CRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Compds. I-IV, useful as herbicides, are disclosed [wherein Q = certain (un) substituted and/or (hetero) fused Ph groups; Rl = H, halo, Cl-3 alky);

R2 = H, F, Cl, Br; V = O, S; X = halo, cyanor m = 1, 2; p = 0 or 1
provided that when m = 2 then p = O; R3 = H, Cl-5 (halo) alkyl, C3-6
(halo) cycloalkyl, (un) substituted Ph; plus N-oxides and salts]. For example, cis-1,2-cyclopropanedicarboximide (prepared in 3 steps) was reduced with BH3.THF and scidified to give 67% 3-azabicyclo[3.1.0] hexane-HCl, which underwent N-chlorination with NCS, dehydrochlorination, cyanation with NaCN, and hydrolysis, to give 69% 3-azabicyclo[3.1.0] hexane-2-carboxylic acid. This underwent amidation with 4-chloro-2-fluoro-5-(2-carboxylic acid. This underwent amidation with 8-chloro-2-fluoro-5-(2-carboxylic acid. This underwent amidation with 8-chloro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-1-10-fluoro-

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ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (prepn. of herbicidal tricyclic heterocycles and bicyclic ureas) 172404-13-6 CAPLUS (Copyropa(3, 4) pyrrolo[1, 2-c]imidazole-1, 3(ZH, SH)-dione, 2-(4-ethyl-7-fluoro-3, 4-dihydro-3-oxo-ZH-1, 4-benzoxazin-6-yl) tetrahydro-(9CI) (CA INDEX NAME)

172404-14-7 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

172404-15-8 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-1,3(2H,5H)-dione,
2-(4-(cyclopropylmethyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

172404-16-9 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-1,3(2H,5H)-dione,
2-[7-fluoro-3,4-dihydro-4-(3-methylbutyl)-3-oxo-2H-1,4-benzoxazin-6yl]tetrahydro-(9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172644-45-0 CAPLUS
2-Butenoic acid, 4-[7-fluoro-6-(hexahydro-1,3-dioxocyclopropa[3,4]pyrrolo[1,2-c]imidazol-2(3H)-yl)-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)

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L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172404-17-0 CAPLUS 4H-1,4-Benzoxazine-4-acetic acid, $\alpha,7$ -difluoro-6- $\{hexahydro-1,3-dioxocyclopropa[3,4]pyrrolo[1,2-c]imidazol-2(3H)-yl)-2,3-dihydro-3-oxo-, ethyl ester <math>\{9CI\}$ (CA INDEX NAME)

172404-18-1 CAPLUS
4H-1, 4-Benzoxazine-4-acetic acid, 7-fluoro-6-(hexahydro-1,3-dioxocyclopropa[3,4]pyrrolo[1,2-c]imidazol-2(3H)-yl)-2,3-dihydro-3-oxo-,ethyl ester (9CI) (CA INDEX NAME)

172404-19-2 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-1,3(2H,5H)-dione,
2-[7-fluoro-3,4-dihydro-2-methyl-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:888099 CAPLUS
DOCUMENT NUMBER: 123:332749
Herbicidal bicyclic hydantoins.
Schaefer, Mathias
du Pont de Nemours, E. I., and Co., USA; Degussa Aktiengesellschaft
PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT. 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.		KINI)	DATE			APP	LICAT	ION	NO.		D.	ATE	
													_		
WO 9523	509		Al		1995	0908		WO	1995-	U526	65		1	9950	228
W:	AM, A	W, BB,	BG,	BR.	BY.	CA,	CN.	CZ	. EE.	FI.	GE.	HU.	JP.	KG.	KP.
		CZ, LK,													
	SI, S	K. TJ.	TT.	UA,	US.	UZ,	VN								
RW:	KE, M	W, SD,	SZ,	UG,	AT.	BE,	CH,	DE	, DK,	ES,	FR,	GB,	GR,	IE,	IT,
	LU, M	IC, NL,	PT,	SE,	BF,	BJ,	CF,	CG	, CI,	CM,	GA,	GN,	ML,	MR,	NE,
	SN, T	D, TG													
AU 9521	155		A1		1995	0918		AU	1995-	2115	5		1	9950	228
PRIORITY APP	LN. IN	IFO.:						US	1994-	2040	27		A 1	9940	301
								WO	1995-	US26	65	1	W 1	9950	228
OTHER SOURCE	(S):		MARI	AT	123:	3327	19								

The title compds. I [G = O, S, NH; R1= halo, OH, CN, alkyl, etc.; R2 = H, OH, halo; R1R2 = O; Q = (un) substituted Ph, benzoxazinyl, etc.; are herbicides. I provide broad-spectrum weed control in citrus, sugarcane, coffee, banana, cil palm, lobiolly pine, rubber tree, cocos, grapes, plantain, pineapple, fruit trees, nut trees, and the like.
2-[4-Chloro-2-fluoro-5-(1-methylethoxy)phenyl]-7fluorotatrahydroimidazo(1,5-alpyridine-1,3(ZH,5H)-dione is an example.
169584-95-4 169584-96-5 169584-97-6
RE: AGR (Agricultural use); BIO. [Biological study); USES (Uses)
(herbicidal bicyclic hydantoins)
169584-95-4 CAPLUS
Imidazo(1,5-alpyridine-1,3(ZH,5H)-dione, 7-fluoro-2-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-ZH-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

169554-96-5 CAPLUS Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 8-fluoro-2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

169554-97-6 CAPLUS
Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7,7-difluoro-2-(7-fluoro-3,4-dibydro-3-exo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2 CRN 126-63-6 CMF C24 H51 03 P

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L4 ANSWER 21 OF 35
ACCESSION NUMBER:
DOCUMENT NUMBER:
1171LE:
INVENTOR(S):
INVENTOR(S):
FATENT ASSIGNEE(S):
SOURCE:
COURTY SOURCE:
COURTY SOURCE:
COURTY SOURCE:
COURTY SOURCE:
COURTY TYPE:
COURTY GWXXAW
DOCUMENT TYPE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
COURTY SOURCE:
FAMILY ACC. NUM. COUNT:
COURTY SOURCE:
COURTY GWXXAW
FATENT NEORMATION:
COURTY GWX

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TEN	Т	NO.			KIN	D DAT	E	API	PLICA	TION	NO.		D	ATE		
												+					
DE	43	05	542			C1	199	40721	DE	1993	-4305	542		15	9930	220	
CA	21	56	494			AA	199	40901	CA	1994	-2156	494		15	9940	217	
WO	94	18	837			A1	199	40901	WO	1994	-EP57	1		15	9940	217	
	¥	۲:	CA,	RU,	UA,	US											
	P	w:	AT,	BE,	CH,	DE,	DK, ES	, FR,	GB, GI	R, IE	, IT,	LU,	MC.	NL,	PT.	SE	
EP	68	47	66			A1	199	51206	EP	1994	-9090	81		1:	9940	217	
EP	68	47	66			B1	199	70416									
	P	:	AT,	BE,	CH,	DE,	DK, ES	, FR,	GB, GI	R, IE	, IT,	LI,	LU,	MC,	NL,	PT,	SE
AT	15	15	98			E	199	70515	AT	1994	-9090	81	-	19	9940	217	
ORIT	Y A	PP	LN.	INFO	.:				DE	1993	-4305	542		A 19	9930	220	
									WO	1994	-EP57	1		7 19	9940	217	

Synergistic mixts. comprise 0,0-bis(2-ethylhexyl) (2-ethylhexyl)phosphonate (1) and any of 16 known herbicides. Thus, a mixture of 750 g-chlortoluron and 48 g-l/ha synergistically controlled Stelleria media and Matricaria chamomilla, when applied postemergence to winter

159988-74-0
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(herbicide, synergistic)
158988-74-0 CAPLUS
Phosphonic acid, (2-ethylhexyl)-, bis(2-ethylhexyl) ester, mixt. with 2-(7-fluoro-3,4-dihydro-3-oxo-4-(1-propynyl)-2H-1,4-benzoxazin-6-yl)tetrahydro-ZH-1,4-benzoxazin-3(4H)-one (9CI) (CA INDEX NAME)

CRN 158988-73-9 CMF C18 H16 F N3 O4

L4 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:630804 CAPLUS
DOCUMENT NUMBER: 121:230804 Herbicidal Imidazolenes and Imidazol[1,5-a]pyridinones
INVENTOR(S): Kilama, John Jolly
du Pont de Nemours, E. I., and Co., USA
FOR INVENTITY E. FOR INVENTITY E. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION: 2

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 9414817	A1 19940707	WO 1993-US11636	19931207			
		TI, HU, JP, KP, KR,				
		D, SK, UA, US, US,				
		B, GR, IE, IT, LU,				
		SN, ML, MR, NE, SN,				
	AA 19940707	CA 1993-2151816	19931207			
AU 9457338	A1 19940719	AU 1994-57338	19931207			
AU 674912	B2 19970116					
EP 674644	A1 19951004	EP 1994-903373	19931207			
R: DE, ES, FR,			23301201			
US 5643855	A 19970701	US 1995-454155	19950615			
PRIORITY APPLN. INFO.:		US 1992-992880	A 19921221			
		US 1993-73010				
		US 1993-96526				
		US 1993-109875				
		WO 1993-US11636	W 19931207			
OTHER SOURCE(S):	MARPAT 121:230804					

AB Imidazolones I (Q = aryl, heteroaryl, benzodiazepinyl, etc.; R1 = H; alkyl, haloalkyl, etc.; R2 = alkyl, alkony, carboxy, etc.; A = alkyl, alkonyl, etc.; B = alkyl, alkynyl, haloalkyl, etc.; W = oxygen, sulfur) were disclosed. The uses of I as herbicides are claimed. An example: compound, compound, compound, compound (I = aryl) compound (I = aryl) compound (I = aryl) considerate (I =

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ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide) 193342-21-1 CAPLUS 1H-InidazOf, 1-c][1,4] oxazine-3-carboxylic acid, 2-{4-ethyl-7-fluoro-3,4-dibydro-3-oxo-2H-1,4-benzoxazin-6-yl)hexahydro-1-oxo-, ethyl ester, cis-19611 (CA NNEX NAME)

(CA INDEX NAME)

Relative stereochemistry.

193342-22-2 CAPLUS
1H-Imidazo[5,1-c][1,4]oxazine-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-bencoxazin-6-yl}hexahydro-1-oxo-, ethyl ester, trans-(9C1) (CA INDEX NAME)

193342-24-4 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-οxο-2H-1,4-benzoxazin-6-y1)octahydro-1-οxο-, ethyl ester, (3α,5aβ,6aβ,6bβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)octahydro-1-oxo-, ethyl ester, (3α,5aβ,6aβ,6bα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-28-8 CAPLUS

IN-Imidazo[5,1-c][1,4]oxazine-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-methylpropyl)-3-oxo-ZE-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-29-9 CAPLUS
1H-Imidazo[5,1-o][1,4]oxazine-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-methylproyyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, cis- [9CI] (CA INDEX NAME)

Relative stereochemistry.

193342-31-3 CAPLUS

HH-Pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-methylpropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, <03/01/2005>

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(Continued) ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

193342-25-5 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)octahydro-1-oxo-, ethyl ester, $(3\alpha,5a\alpha,6a\alpha,6b\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-26-6 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)octahydro-1-oxo-, ethyl ester, $(3\alpha,5a\alpha,6a\alpha,6b\beta)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-27-7 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,

ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN cis- (9CI) (CA INDEX NAME) (Continued)

Relative stereochemistry.

193342-32-4 CAPLUS

IH-Pyrrolo[1,2-0]imidazole-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-methylpropyl))-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-33-5 CAPLUS
1H-Pyrrolo[1,2-o]imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)hexahydro-1-oxo-, ethyl ester, trans-(SCI) (CA INDEX NAME)

Relative stereochemistry.

193342-34-6 CAPLUS IH-Pyrrolo[1, 2-c|imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dhydro-3-oxo-ZH-1,4-benzoxazin-6-yl)hexahydro-1-oxo-, ethyl ester, cis-(SCI) (CA INDEX NAME)

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 ${\tt L4}$ $\,$ ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN Relative stereochemistry. (Continued)

ANSWER 23 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. (I; R1 = alkyl, alkenyl, alkynyl) were prepared by 1) acetylation of 2-amino-5-fluorophenol with AcCl or Ac20 in the presence of an acid acceptor, optionally in a solvent, to give II, 2) treatment of II with R402CCH2Y (R4 = H, alkyl) Y = halo, Mes020, 4-MecGH4S020) to give the ether deriv, 3) nitration of the ether with HN03 or an (in)organic derivative, optionally in a solvent, 4) hydrogenation to give intermediate III, 5) acylation of the amine with chloroformate IV (X = halo, NO2, cyanor n = 0-5) in an inert solvent, optionally in the presence of an (in)organic acid acceptor, 6) treatment of the resulting phenoxyacetate deriv with piperidinecarboxylate V (R2 = H, Me, Et) to give hydantoin VI, 7) cyclization of VI, optionally in the presence of acid or base, and 8) treatment of the cyclized product with RIW (W = C1, Br, iodo, Mes020, 4-MecGH4S020). I (R1 = 2-propynyl) was prepared as above with yields of 84-939 per step.

II 123249-72-99
RL: SPN (Synthetic preparation); PREP (Preparation)

123249-72-9F
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
123249-72-9 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

153084-00-5P RL: SPN (Synthetic preparation); PREP (Preparation) <03/01/2005>

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L4 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1994:164204 CAPLUS DOCUMENT NUMBER: 120:164204 DOCUMENT NUMBER: TITLE: 120:164204
Preparation of D,L-2-(7-fluor-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)perhydroimidazo[1,5-a]pyridine-1,3-dionas benzowazin-6-yl]perhydroimidazo[1,5-a]pyridine-1,3 diones Ganzer, Michael, Puttner, Reinhold; Seba, Hartmut Schering A.-G., Germany Ger., 12 pp. CODEN: GWXXAW Patent German INVENTOR (S):
PATENT ASSIGNEE (S):
SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE DE 4208778 C1 19930923 DE 1992-4208778 19920317
W0 9313065 A1 19930930 W0 1993-EF598 19930310
W: HU, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
EP 631579 A1 19950104 EP 1993-906536 19930310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LL, UM, CN, NL, PT, SE
JP 07504671 T2 19950525 JP 1993-516237 19930310
HU 68172 A2 19950529 HU 1994-2674 19930310
PRIORITY APPLN. INFO.:

DE 1992-4208778 A 19920317
W0 1993-EF598 W 19930310 DE 1992-4208778 WO 1993-EP598 A 19920317 W 19930310 OTHER SOURCE(S): MARPAT 120:164204

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ANSWER 23 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (prepn. of, as herbicide intermediate) 153084-00-5 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)tetrahydro-(9CI) (CA INDEX NAME)

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L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

10/702,302	
L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1993:488917 CAPLUS DOCUMENT NUMBER: 119:88917 TITLE: Synergistic herbicidal compositions comprising an imidazopyridinedione derivative.	
INVENTOR(S): Johann, Gerhard: Rees, Richard PATENT ASSIGNEE(S): Schering AG., Gernany Ger. Offen., 8 pp. CODEN: GWXXEX	
DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:	
PATENT NO. KIND DATE APPLICATION NO. DATE	
DE 4136740 A1 19930506 DE 1991-4136740 19911105 WO 9308689 A1 19930513 WO 1992-EP2535 19921030	
W: AU, BR, CA, FI, HU, JP, KR, RU, US RW: AT, BE, CH, DE, DX, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE AU 9229204 A1 19930607 AU 1992-29204 19921030	
EP 612213 Al 19940831 EP 1992-923266 19921030 R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE HU 66872 A2 19950130 HU 1994-1307 19921030	
JP 07502498 T2 1950316 JP 1992-508170 19921030 BR 9206712 A 19951024 BR 1992-6712 19921030	
ZA 9208540 A 19930505 ZA 1992-8540 19921105 CN 1073071 A 19930616 CN 1992-113786 19921105 FI 9402050 A 19940504 FI 1994-2050 19940504	
PRIORITY APPLN. INFO.: DE 1991-4136740 A 19911105 WO 1992-EP2535 A 19921030	
AB Mixts. of 2-[7-fluoro-3-oxo-4-(2-propynyl)-3,4-dihydro-2H-1,4-benzoazin-6-yl]perhydroinidazcl[,5-a]pyridine-1,3-dione (I) with glyphosate, sulfometuron-methyl, imizapyr, 2,4-D, dicamba, diuron, oxyfluorfen, glufosinate ammonium, aminotriazole or sethoxydim, are syneryistic herbicides. Postemergence application of a mixture of 4 g 1 and 100 g	
2,4-D/ha, synergistically controlled Sesbania exaltata, Bidens pilosa and Amaranthus retroflexus. IT 148645-25-4 148645-26-5 148645-27-6	
148645-28-7 148645-29-8 148645-30-1 148645-31-2 148645-32-3 148645-33-4 148690-59-9	
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): BIOL (Biological study): USES (Uses)	
(herbicide, synergistic) RN 148645-25-4 CAPLUS CN Benzoic acid, 2-[[[[4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]amino]sulfo	
nyl]-, methyl ester, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2- propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydroimidazo[1,5-a)pyridine- 1,3(2M,5H)-dione (9CI) (CA INDEX NAME)	
CH 1	
CRN 123249-72-9 CMF C18 H16 F N3 O4	
L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)	
M	
1-Pr N	
RN 148645-27-6 CAPLUS CN Acetic acid, (2,4-dichlorophenoxy)-, mixt. with 2-[7-fluoro-3,4-dihydro-3- xxxxxxxxxxxxxxxxxxxxxxxxxxxxxxxxxxx	
oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl)-6,7,8,8a-tetrahydroimidazo(1,5-a)pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)	
CH 1 .	
CRN 123249-72-9 CMF C18 H16 F N3 O4	
CM 2	
CRN 94-75-7 CMF C8 H6 C12 O3	
cl.	
0— СH2—СО2H	
ċı	
RN 148645-28-7 CAPLUS CN Benzoic acid, 3,6-dichloro-2-methoxy-, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)	
CH 1	

```
CM 2
         CRN 74222-97-2
CMF C15 H16 N4 05 S
         148645-26-5 CAPLUS
3-Pyridinecarboxylic acid, 2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-6,7,8,8a-tertahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione [9CI] (CA INDEX NAME)
         CM 1
         CRN 123249-72-9
CMF C18 H16 F N3 O4
          CRN 81334-34-1
CMF C13 H15 N3 O3
L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
                                                                                                                        (Continued)
         CM 2
         CRN 1918-00-9
CMF C8 H6 C12 O3
      148645-29-8 CAPLUS
Urea, N'-(3,4-dichlorophenyl)-N,N-dimethyl-, mixt. with
2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-
yl)tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX
NAME)
         CM 1
         CRN 123249-72-9
CMF C18 H16 F N3 O4
         CM 2
         CRN 330-54-1
CMF C9 H10 C12 N2 O
```

CRN 123249-72-9 CMF C18 H16 F N3 O4

148645-30-1 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-{7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-, mixt. with
2-chloro-1-(3-ethoxy-4-nitrophenoxy)-4-(trifluoromethyl)benzene (9CI) (CAINDEX NAME)

CRN 123249-72-9 CMF C18 H16 F N3 O4

CH2-CE CH

CRN 42874-03-3 CMF C15 H11 C1 F3 N O4

148645-31-2 CAPLUS
Butanoic acid, 2-amino-4-(hydroxymethylphosphinyl)-, monoammonium salt, mixt. with 2-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

CM 1

CRN 123249-72-9

ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

148645-33-4 CAPLUS Inidazo[1,5-a] pyridine-1,3(2H,5H)-dione, 2-{7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-, mixt. with 2-[1-(athoxyimino)butyl]-5-[2-(athylthio)propyl]-3-hydroxy-2-cyclohexen-1-one (9CI) (CA INDEX NAME)

CPI 1

CRN 123249-72-9 CMF C18 H16 F N3 O4

2

CRN 74051-80-2 CMF C17 H29 N O3 S

ŞĒt

148690-59-9 CAPLUS Glycine, N-(phosphonomethyl)-, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4[2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

CM 1

CRN 123249-72-9 CMF C18 H16 F N3 O4

Page 38

ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN CMF C18 H16 F N3 O4 (Continued)

2

CRN 77182-82-2 CMF C5 H12 N O4 P . H3 N

● NH3

148645-32-3 CAPLUS Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-, mixt. with 1H-1,2,4-triazol-3-amine (9CI) (CA INDEX NAME)

CRN 123249-72-9 CMF C18 H16 F N3 O4

CRN 61-82-5 CMF C2 H4 N4

L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 1071-83-6 CMF C3 H8 N O5 P

HO2C-CH2-NH-CH2-PO3H2

123249-72-9D, mixts. containing RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): BIOL (Biological study): USES (Uses)

USES (USes)
(herbicides, synergistic)
123249-72-9 CAPLUS
Imidaze(1,5-a) pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: TITLE:

L4 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
1993:124517 CAPLUS
118:124517
IIIIE: Preparation of 1-acyl-2-carboxyl-4,5-epoxypiperidines as herbicides
Seckinger, Karl, Milzner, Karlheinz; Kuhnen, Fred;
Mchanty, Sasank Sekhar
Sandoz Etd., Switz., Sandoz-Patent-G.m.b.H.;
Sandoz Etrindungen Verwaltungsgesellschaft m.b.H.
Eur. Pat. Appl., 41 pp.
CODEN: EPYXCHW
Patent
LANGUAGE:
ANGUAGE:
ANGUAGE: INVENTOR (S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 514339	A1	19921119	EP 1992-810350	19920511
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, NL,	PT, SE
HU 61654	A2	19930301	HU 1992-1457	19920430
CA 2068846	AA	19921118	CA 1992-2068846	19920515
AU 9216322	A1	19921119	AU 1992-16322	19920515
AU 644058	B2	19931202		
BR 9201856	A	19930105	BR 1992-1856	19920515
JP 05163274	A2	19930629	JP 1992-123139	19920515
ZA 9203570	A	19931115	ZA 1992-3570	19920515
US 5221744	A	19930622	US 1992-931250	19920817
RIORITY APPLN. INFO.:			GB 1991-10679	A 19910517
			US 1992-880431	B1 19920508
THER SOURCE(S):	MARPAT	118:1245	17	

Title compds. [I R2 - H, halo: R3 - halo, cyano, alkyl: R4 - H, halo, M02, amino, cyano, (cyano) alkyl: (cyano) alkenyl: alkynyl: (substituted) alkoxycarbonylalkyl; alkoxycarbonylalkoxylakyl: alkoxycarbonylalkonyl; alkylthioalkyl; alkylsulfonylalkyl: alkylsulfonyl; etc.: R3R4 - atoms form am (O-, N-, or S-containing) (substituted) ring R5 - H, alkyl; halo, AB

L4 ANSWER 26 OF 35
ACCESSION NUMBER:
DOCUMENT NUMBER:
115:29351
INVENTOR(5):
PATENT ASSIGNEE(5):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
PATENT ASSIGNEE(5):
DOCUMENT TYPE:
PATENT TYPE:
PATENT ASSIGNEE(5):
DOCUMENT TYPE:
DOCUMENT TYPE:
PATENT TYPE

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE DE 3922107
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI DE 1989-3922107 DE 1989-3922107 A1 19910117 19890705 19890705 MARPAT 115:29351

Title compds. (I, Rl, R2 = H, alkyl; RiR2 = alkylens; R3, R4 = H, (substituted) alkyl; alkenyl, alkynyl, cycloalkyl, aralkyl; heteroarylalkyl; X = H, halo; Y, 2 = 0,5; R = 0, CHR4, NR4, RH2C:C; B = bond, CH2, McCH, Ne2C! were prepared as herbicides and plant growth regulators (no data). Thus, a mixture of isopropylidenesuccinic anhydride and 5-amino-6-fluoro-2, 3-dihydro-2-oxo-3-propargyl-1,3-banzothiszoline was heated at 155 for 5 h in HOAc to give 66.78 title compound II. II was said to be superior to a comparison compound against Galium and 126007-07-69 126007-08-79

126007-07-69 126007-08-79
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthatic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide and plant growth regulator); 126007-07-6 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-

<03/01/2005>

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ANSWER 25 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkenyl, 107 R6 - (modified) carboxylate; A - NH; or AR6 - NCX2; X1, X2 - 0, S; m - 0-2] were prepd. as herbicides (no data). Thus, Me 4,5-epoxy-2-piperidinecarboxylate (prepn. given) was stirred with 4-chloro-2-fluoro-5-inopropoxyphenyl isocynante in PhMe to give title compd. II. I were said to be particularly effective against Abutilon theophrasti, Amaranthus retroflexus, and Solamun nigrum.
145981-39-19

145981-39-19
RL: AGR (Agricultural use), BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
145981-39-1 CAPLUS
Imidazo[1,5-a]oxireno[d]pyridine-4,6(2H,5H)-dione, 5-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

ANSWER 26 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1,4-benzoxazin-6-yl]-5-(1-methylethylidene)- (9CI) (CA INDEX NAME)

126007-08-7 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-1-methyl-5-(1-methylethylidene)- (9CI) (CA INDEX

L4 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER:
11991:143432 CAPLUS
114:143432 CAPLUS
1111E: Herbidical benzoxazolinone and benzoxazinone derivatives
Ganzer, Michael, Dorfmeister, Gabriele, Franke, Wilfried, Johann, Garhard, Rees, Richard Schering A.-G., Germany
DOCUMENT TYPE: Pat. Appl., 35 pp.
COODE: EPXXDW
DOCUMENT TYPE: Patent German
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

1	PA1	ENT N	٥.			KIN)	DATE	:		APP	LIC	AT:	I ON	NO.			DATE	
							-												
1	EΡ	40699	3			A2		1991	0109	1	EP	199	PO-2	250	169			199007	703
1	ĔΡ	40699	3			A3		1991	1227										
		R:	AT,	BE,	CH.	DE,	DK,	ES,	FR,	GB,	GF	ι, 1	Т,	LI.	. LU	, NL,	5	E	
ì	DΕ	39228	47			A1		1991	0117		DE	198	9-:	392	2847			198907	707
	ZΝ	10485	45			Α		1991	0116		CN	199	0-	103	169			199007	703
	DD	29640	2			A5		1991	1205	1	DD	199	0-0	342	191			199007	704
1	ΗU	54163				A2		1991	0128	1	ŧU	199	0-0	412	5			199007	706
	JP	03115	286			A2		1991	0516		JP	199	0-1	177	529			199007	706
PRIOR	T	APPL	Ñ.	INFO.						1	DE	198	9-:	392	2847		Α	198907	707
OTHER GI	S	OURCE (s):			CASI	REAC	T 11	4:14	3432	M	LARI	AT	114	:14	3432			

Title compds. I [n = 1,2; R = H, F, Cl; Rl = cyclic imido, thioimido, thiazabicycloalkylideneamino; X = (CH2)3, CH:CHCH2, CH2CH:CH, unsubstituted or substituted by Me or Et] were prepared Thus, the tetrahydrophthalimide II was obtained in 904 yield by treating the pyridobenzowazinylamine with tetrahydrophthalic anhydride. II at 0.3 kg/ha post-mergence caused >75% inhibition of several broad-leaf weeds. 132503-24-39 132503-25-49

RL: BAC [Rological activity or effector, except adverse); BSU [Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study, PREP (Preparation) (preparation and herbicidal activity of) 132503-24-3 CAPLUS Imidazol, 5-alpyridine-1,3(2H,SH)-dione, tetrahydro-2-(2,3,6,7-tetrahydro-3-oxo-SH-pyrido[1,2,3-de)-1,4-benzoxazin-8-y1)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:158260 CAPLUS
TITLE: 12:158260 Preparation of benzoxazinyloxazolidinedione analogs as herbicides
TAKAHASHI, Junya; Enomoto, Masayuki; Haga, Toru; Sakaki, Masaharu; Sato, Ryo
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
ENUMBERT TYPE: CODE: EPXXDW
DOCUMENT TYPE: PATENT NORMATION: EPXDW
DATENT INFORMATION: 1
EMBILIA CO. NUM. COUNT: 1
EMBILIA CO. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE ·	APPLICATION NO.	DATE
EP 338533	A2	19891025	EP 1989-107028	19890419
EP 338533	A3	19920408		
EP 338533	B1	19941109		
R: CH, DE, FR,	GB, LI			
US 5322835	A .	19940621	US 1989-337406	19890413
JP 02288878	A2	19901128	JP 1989-95892	19890414
RU 2010525	C1	19940415	RU 1990-4830595	19900803
PRIORITY APPLN. INFO.:			JP 1988-98590 A	19880420
			JP 1988-98591 A	19880420
			JP 1988-167924 A	19880705
			JP 1989-37855 A	19890216
OTHER SOURCE(S):	MARPAT	112:158260		

The title compds. [I, X = 0, S, Y = H, F, Z = 0, CH2, (substituted) iminor Rl = H, alkyl, alkenyl, alkynyl, etc.; R2-R4 = H, alkyl, n = 0,l], useful as herbicides, are prepared Bencoxazinylcarbamate II was refluxed with NaOMe in toluene for 3 h to give I (X = Z = 0, Y = F, Rl = CH2C.tplbod.CH, R2 = R4 = H, R3 = Me, n = 1 (III). III at 0 g/are killed 100% Japanese millet, tall morning glory, and velvet leaf. Herbicidal

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<03/01/2005>

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ANSWER 27 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

132503-25-4 CAPLUS
5M-Pyrido[1,2,3-de]-1,4-benzoxazin-3(2H)-one, 9-fluoro-8-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-yl)-6,7-dihydro- (9CI) (CA INDEX NAME)

ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) formulations are given.
126006-98-2P 126007-00-6P 126007-23-6P
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of, as herbicide)
126006-98-2 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-1-(2-fluoroethyl)-5-(1-methylethylidene)- (9CI) (CA INDEK NAME)

126007-07-6 CAPLUS 2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-5-(1-methylethylidene)- (9Cl) (CA INDEX NAME)

126007-08-7 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-1-methyl-5-(1-methylethylidene)- [9CI] (CA INDEX NAME)

126007-09-8 CAPLUS
2,4-Imidazolidinedione, 3-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H1,4-benzoxazin-6-yl]-5-(1-methylethylidene)-1-(2-propenyl)- (9C1) (CA
INDEX NAME)

ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

126007-10-1 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H1,4-benzoxazin-6-yl]-5-(1-methylethylidene)-1-(2-propynyl)- (9CI) (CA
INDEX NAME)

126007-23-6 CAPLUS 12000/12370 CATIOS

-Imidazolidineacetic acid, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-5-[1-methylethylidene)-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

ΙT 126007-07-6

RL: RCT (Reactant), RACT (Reactant or reagent) (reaction of, in preparation of herbicides) 126007-07-6 CAPLUS

12-007-07-5 CAPLOS 2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-5-(1-methylethylidene)- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:574127 CAPLUS
111:174127
111127
11VENTOR(S): 5 Ganzer, Michael; Franke, Wilfried; Dorfmeister, Gabrielle; Johann, Gerhard; Arndt, Friedrich; Rees, Brichael

OBSTRETE Volumin, Germand Att Richard Schering A.-G., Fed. Rep. Ger. Eur. Pat. Appl., 43 pp. CODEN: EFXXDW Patent German 1 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. DATE DATE KIND EP 311135 EP 311135 EP 311135 19890412 19890906 19930602 , FR, GB, 19930620 19930404 19900926 19920323 19890410 19940831 19941212 19940831 19940831 19940831 19940831 19940831 19940831 19940831 19990928 19890523 19890928 199300329 19930426 EP 311135
EP 311135
EP 311135
EP 311135
EP 311135
EP 311135
EP 3734745
IL 87887
DD 282847
SU 1722204
DK 8805634
PI 8804625
FI 92585
AU 8823568
AU 614775
BR 8805182
JP 01157977
JP 2765873
ZA 8807559
HU 49356
HU 207330
CN 1032479
AT 90091
ES 2058206
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): GR, IT, LI, LU, NL, SE DE 1987-3734745 IL 1988-87887 DD 1988-320543 SU 1988-4356592 ES, 19871009 19880930 19881006 19881007 19881007 AU 1988-23568 19881007

BR 1988-5182 JP 1988-252230 19881007 19881007 B 19930329 A 19990426 CN 1988-109124 E 19930615 AT 1988-116762 T3 19941101 E5 1988-116762 DE 1987-3734745 EF 1988-116762 CASREACT 111:174127, MARPAT 111:174127 19881008 19881010 19881010 19871009 19881010 OTHER SOURCE(S):

The title compds. (I: R1 = H, (un) substituted C1-5 alkyl, C3-5 alkenyl, <03/01/2005>

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ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 29 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) etc.; X = (CR2R3)nW, CR2:V in which V and W are bound to Ph-molety; V = CR1, N; W = CR4R5, NR6, O, S; R2-R5 = H, halo, Cl-3 (halo)alkyl; R6 = H, Me, halomathyl; V = H, F, Cl; Z = 1 specific and 7 general heterocyclyl; n = 0, 1] were prepd. Aminobencoxazinone II (Z = NR2) was stirred 10 h with Cl2CS in CH2Cl2 contp. CR303 to give 94% II (Z = NCS) which was added at 5' to a soln. of 2-amino-4,4-dimethyl-1-pyrroline in CH2Cl2 and the whole stirred 3 h with warming to 20' whereupon the soln. was cooled to -20', Br added, and stirring continued 1 h with warming to 10' to give 25% II (Z = pyrrolothadiazolylidensimino group Q) which gave complete kill of 9 weeds and no effect on wheat at 0.1 kg/ha postemergent.

All: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SSN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

Imidazo(1,5-a)pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

123249-73-0 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-7-yl]tetrahydro- (9CI) (CA INDEX NAME)

123249-74-1 CAPLUS Imidaz(),5-s)pyridine-1,3(2H,5H)-dione, 2-[3,4-dihydro-3-oxo-4-(2-propynyl)-ZH-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

ANSWER 29 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
123249-75-2 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-(hexahydro-1-oxo-3thioxoimidazo[1,5-a]pyridin-2(3H)-y1)-4-(2-propyny1)- (9CI) (CA INDEX

ANSWER 30 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-1,4-Benzoxazin-3(4H)-one, 6-(dihydro-7-oxo-5-thioxo-1H,3H-imidazo[1,5-c]thiazol-6(5H)-y1)-7-fluoro- (9CI) (CA INDEX NAME)

Page 42

L4 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:553798 CAPLUS
1111E: 111:153798
INVENTOR(S): Lindel, Hans; Santel, Hans Joachim; Schmidt, Robert R.; Strang, Harry
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: EWILD A.-G., Fed. Rep. Ger.
EMILY ACC. NUM. COUNT: 1
FAMILY ACC. NUM. COUNT: 1
FATENT INSONATION: 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE EP 1988-107009 19880502

EP 290902
R: BE, CH, DE,
DE 3740256
AU 8815854
JP 63287782
BR 8802324
DK 8802646
PRIORITY APPIN. INFO.: A2 19881117

FR, GB, IT, LI, NL
A1 19881208
A1 19881117
A2 198811124
A 19881213
A 19881115 FR, GB, IT, LI, NL
A1 19981208 DE 1987-3740256
A1 19981117 AU 1988-15854
A2 19981124 JP 1988-112658
A 19881213 BR 1988-2324
A 19881115 DK 1988-2646
DE 1987-3716108
DE 1987-3740256
CASREACT 111:153798; MARPAT 111:153798 19871127 19880505 19880511 19880512 19880513 19870514 19871127 OTHER SOURCE (5):

The title compds., lH, 3H-imidazo[1,5-c]thiazole-5,7(6H,7aH)-diones and S analogs, [Ir Rl, R4, R5 = H, halor R2, R3 = H, halo, N02, cyano, (un) substituted alkyl (oxy), alkenyl (oxy), alkynyl (oxy), alkylthio, alkylamino, etc., R2R3 = X1A(c0) nY; R6 = H, (un) substituted Ph, naphthyl; R7-R9 = H, alkyl: A = bond, (chloro) alkylene; X, X1 = O, S; Y = O, S, N10N R10 = H, (un) substituted alkyl, alkenyl; alkynyl: m = O-2; n = O, 1] were prepared as herbicides (no data). A mixture of Et 4-thiazolidinecarboxylate and 4-BrcGi4NCS (general preparation given) were stirred 60 min at 20° in PMMe to give 88% I (R1 = R2 = R4 = R5 = R6-R9 = H, R3 = Br, X = S, m = O). 120222-53-99
RL: AGR (Agricultural use); BAC (Riological activity or affects.

120222-53-99
RE: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
120222-53-9 CAPLUS

L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
1989:173245 CAPLUS
110:173245
110:173245 CAPLUS
110:1732

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND ' DATE	APPLICATION NO.	DATE
EP 296416	A1 19881228	EP 1988-109234	19880610
EP 296416	B1 19920729		
R: BE, CH, DE,	FR, GB, IT, LI, NL		
JP 01075486	A2 19890322	JP 1987-231063	19870917
JP 01102076	A2 19890419	JP 1987-258462	19871015
AU 8816944	A1 19881222	AU 1988-16944	19880601
AU 605304	B2 19910110		
US 4902335	A 19900220	US 1988-209170	19880617
JP 01085977	A2 19890330	JP 1988-151142	19880621
BR 8803045	A 19890110	BR 1988-3045	19880622
JP 01052775	A2 19890228	JP 1988-183640	19880725
US 5077401	A 19911231	US 1989-418001	19891006
PRIORITY APPLN. INFO.:		JP 1987-155093 A	19870622
		JP 1987-231063 A	19870917
		JP 1987-258462 A	19871015
		US 1988-209170 A	3 19880617
AMITTED COLUMNS (C)	WARRAM 410-17004F		

OTHER SOURCE(S): MARPAT 110:173245

L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The title compds. [I, Q = heterocyclyl groups Q1-Q3, etc.; R = cycloalkyl, (un) substituted heterocyclyl; R1 = Me; (R1)2 = (CH2)4; R2R3 = (CH2)4, CH2CH:CHCH2; W = CH, N; X = H, halo; Y, Z = O, S; n = O, 1] were prepared 6-Fluoro-2(3H)-benzothiazolone was refluxed 5 h with 3-chloromethyl-5-methyl-1, 2,4-cxadiazole; In MeCN containing X2CO3 to give oxadiazoly_imethylbenzothiazolone II (Q = H) which was converted in 2 steps to II (Q = NH2). The latter was refluxed 2 h with 3,4,5,6-tetrahydrophthalic anhydride in HOAc to give isoindoledionylbenzothiazolone III (R = 5-methyl-1,2,4-cxadiazol-3-yl.) III (R = 2-pyridyl) gave 295% herbicidal control of 4 weeds with no phytotoxicity to rice in culture at 0.06 kg/ha.
120102-66-1P 120102-67-6P 120102-70-TP 120102-68-5P 120102-68-67-6P 120102-69-6P RI: AGR (Agricultural use)r-BAC (Biological activity or effector, except adverse); BSU (Biological study; preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
120102-66-1 CAPLUS
ImidzaC(1,5-a) pyridine-1, 3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-4-(3-isoxazolylmethyl)-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-1,4-Benzowazin-3(4H)-one, 6-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

120102-87-6 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-y1)-4-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

120102-89-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-(3-isoxazolylmethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

120102-67-2 CAPLUS Imidazo[1,5-a]pyridine-1,3{2H,5H}-dione, 2-{7-fluoro-3,4-dihydro-4-{(5-methyl-1,2,4-oxadiazol-3-yl)methyl]-3-oxo-2H-1,4-benzoxazin-6-yl}tetrahydro-(9CI) (CA INDEX NAME)

120102-70-7 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

120102-86-5 CAPLUS

L4 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
110:135165 CAPLUS
110:135165 SYNTHESIS and antibacterial activity of
1,4-oxazinoquinolone carboxylic acids
Sastry, C. V. Reddy, Rao, K. Srinivasa; Rastogi, K.,
Jain, M. L.; Reddi, G. S.; Singh, K. V.
LDPL Res. Cent., Indian Drugs & Pharm. Ltd.,
Hyderabad, 500 037, India
Chemistry Including Medicinal Chemistry (1988),
278(7), 649-52
CODEN: 195-180
DOCUMENT TYPE:
LANGUAGE:
Journal
LANGUAGE:
English

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI English CASREACT 110:135165

A series of 2H(1,4)cmazino(2,3-g)quinoline-8-carboxylic acids I (R = RI = H, Mer R = H, RI = Et) and 2H(1,4)cmazino(2,3-f)quinoline-9-carboxylic acids II (R2 = Cl, PhS, 4-phenylpiperazino, morpholino) were prepared from benzowazines III and IV. resp. and EtcCH:C(CO2Et)2 in 4 steps. I, II, and their Et esters were screened for their antibacterial activity in vitro against a variety of gram pos. and gram nep. bacteria. I (R = RI = H) shows promising antibacterial activity in vitro superior to that of nalidixic acid.
119453-69-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study), unclassified), SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
119453-69-9 CAPLUS
2H-Pyrido(2,3-h)-1,4-benzowazine-9-carboxylic acid, 7-ethyl-3 tetrahydro-3,10-dioxo-6-(4-phenyl-1-piperazinyl)- (9CI) (CA

ANSWER 32 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

119453-50-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ethylation of)
119453-50-9 CAPLUS
2H-Pyrido[2,3-h]-1,4-benzoxazine-9-carboxylic acid, 3,4,7,10-tetrahydro-3,10-dioxo-6-(4-phenyl-1-piperazinyl)-, ethyl ester (SCI) (CA INDEX NAME)

IT

119453-62-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
119453-62-2 CAPLUS
2H-Pyrido[2,3-h]-1,4-benzoxazine-9-carboxylic acid, 7-ethyl-3,4,7,10-tetrahydro-3,10-dioxo-6-(4-phenyl-1-piperazinyl)-, ethyl ester (9CI) (CA INDEX NAME)

Page 44

L4 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

119453-46-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and intramol. cyclization. of, oxazinoquinoline derivs.

from)
RN 119453-46-2 CAPLUS
CN Propanedicic acid, [[[3,4-dihydro-3-oxo-6-(4-phenyl-1-piperazinyl)-2H-1,4-benzoxazin-7-yl]amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)

ΙT

116862-42-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with di-Et ethoxymethylenemalonate)
116862-42-1 CAPIUS
2H-1,4-Benzoxazin-3(4H)-one, 7-amino-6-(4-phenyl-1-piperazinyl)- (9CI)
(CA INDEX NAME)

L4 ANSWER 33 OF 35

ACCESSION NUMBER:
DOCUMENT NUMBER:
1988:570339 CAPLUS
109:170339

Synthesis and anthelmintic activity of some new
6-(arylthio-/arylsulfonyl/substituted
amino)-7-isothiocysnato-2H-1,4-bencoxazin-3(4H)-ones
Sastry, C. V. Reddy, Rao, K. Srinivasar Rastogi, K.,
Jain, M. L.

CORPORATE SOURCE:
10PL, Indian Drugs and Pharm. Ltd., Hyderabad, 500
037, India
SOURCE:
1 Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1988),
27B(3), 290-2
CODEN: IJSBDB; ISSN: 0376-4699
JOURNAI
LANGUAGE:
CASREACT 109:170339

Aminobenzoxazinones I (RI = arylthio, arylsulfonyl, secondary amino) were treated with thiophosgene to give isothiocyanato-substituted compds. II. II are potential anthelmintics. Among the products was II (RI = 4-methyl-1-piperazinyl). 116862-41-0P 116862-42-IP 116862-43-2P RI: RCT (Reactant) s FNI (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent) (preparation and condensation reaction of, with thiophosgene) 116862-41-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-amino-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME) AB

116862-42-1 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 7-amino-6-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

116862-43-2 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 7-amino-6-[4-(phenylmethyl)-1-piperazinyl]-(SCI) (CA INDEX NAME)

116862-35-2P 116862-36-3P 116862-37-4P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of, amino analog from)
116862-35-2 CAPIUS
2H-1, 4-Benzowazin-3 (4H)-one, 6-(4-methyl-1-piperazinyl)-7-nitro- (9CI)
(CA INDEX NAME)

116862-36-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-nitro-6-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

116862-37-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-nitro-6-[4-(phenylmethyl)-l-piperazinyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

IT

116862-53-4P 116862-54-5P 116862-55-6P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation of, as anthelmintic)
116862-53-4 CAPIUS
2H-1,4-Benzowazin-3(4H)-one, 7-isothiocyanato-6-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

2H-1,4-Benzoxazin-3(4H)-one, 7-isothiocyanato-6-(4-phenyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

116862-55-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-isothiocyanato-6-[4-(phenylmethyl)-1-piperazinyl]- (SCI) (CA INDEX NAME)

ANSWER 34 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: AGR (Agricultural use), EAC (Biological activity or effector, except
adverse), BSU (Biological study, unclassified), SFN (Synthetic
preparation), BIOL (Biological study), PREP (Preparation), USES (Uses)
(prepn. of, as herbicide)
115614-33-0 CAPLUS
HH-1.4-Benzoxazine-4-acetonitrile, 7-fluoro-6-(hexahydro-1,3dioxoimidazo[1,5-a]pyridin-2(3H)-y1)-2,3-dihydro-3-oxo- (9CI) (CA INDEX
NAME)

115614-88-5 CAPLUS
4H-1,4-Benzovazine-4-scetonitrile, 6-(hexahydro-1,3-dioxoimidazo[1,5-a)pyridin-2(3H)-yl)-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)

Page 45

L4 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:473459 CAPLUS
DOCUMENT NUMBER: 109:73459
INVENTOR(S): Preparation and testing of indolobenzoxazinone derivatives as herbicides
Kume, Toychikov Goto, Toshiov Kamochi, Atsumi, Yamaguchi, Naokov Yamagi, Akihikov Hayakawa, Hidenori, Yaqi, Shigeki, Hiyauchi, Hiroshi
Nihon Tokushu Noyaku Seizo K. K., Japan
EDCUMENT TYPE: Patent
LANGUAGE: PAPLIV ACC. NUM. COUNT: 4

FAMILY ACC. NUM. COUNT: 4

FAMILY ACC. NUM. COUNT:

•	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	EP 263299	A1	19880413	EP 1987-112651	19870831
	EP 263299	B1	19901107		
	R: BE, CH, DE,	FR, GB	, IT, LI, NL		
	JP 63068587	A2	19880328	JP 1986-210725	19860909
	JP 63196582	A2	19880815	JP 1987-27194	19870210
PRI	ORITY APPLN. INFO.:			JP 1986-210725 A	19860909
				JP 1987-27194 A	19870210

OTHER SOURCE(S): MARPAT 109:73459

The title compds. (I: Rl = H, Me, Et; R2 = CN, Me3Si, Me3SiCH2O2C, Cl-4 alkylthio, cyclopropyl; X = H, halo; Q = Q1-Q4) were prepared as herbicides. $2-\{7-Fluoro-2H-1,4-benzoxazin-3\{4H\}-on-6-y1\}-4,5,6,7-testrahydro-2H-isolndol-1,3-dione was refluxed 30 min with K2CO3 in MeCN. The solution was cooled to 5°, ClCH2CN was added and the mixture was refluxed 3 h to give I (Rl = H, R2 = CN, <math>Q = Q1, X = F$). Several I at 0.06 kg/ha gave complete control of Echinochloa oryzicola, Cyperus difformis, and Monochoria vaginalis while leaving rice unaffected. AB

L4 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:5080 CAPLUS
DOCUMENT NUMBER: 106:5080
PATENT ASSIGNEE(S): 5UNCE: 106:5080
DOCUMENT TYPE: 106:5080
D

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 61152655 A2 19860711 JP 1985-285841 19851220 EP 189612 A1 19860806 EP 1985-202085 19851216 EP 189612 B1 19921104 R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE AT 81975 E 19921115 AT 1985-202085 19851216 DK 8505860 A 19860622 DK 1985-5860 19851217 AU 8551391 A1 19860626 AU 1985-51391 19851218 AU 589015 B2 19890907
JP 61152655 A2 19860711 JP 1985-285841 19851220 EP 189612 A1 19860806 EP 1985-202085 19851216 EP 189612 B1 19921104 - R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE AT 81975 E 19921115 AT 1985-202085 19851216 DX 8505860 A 19860622 DX 1985-5860 19851217 AU 8551391 A1 19860626 AU 1985-51391 19851217
EP 189612 B1 19921104 R: AT, EE, CH, DE, FR, GB, IT, LI, LU, NL, SE AT 81975 E 19921115 AT 1985-202085 19851216 DK 8505860 A 19860622 DK 1985-5860 19851217 AU 8551391 A1 19860626 AU 1985-51391 19851217
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE AT 81975 E 19921115 AT 1985-202085 19851216 DX 8505860 A 19860622 DX 1985-5860 19951217 AU 8551391 A1 19860626 AU 1985-51391 19851218
AT 81975 E 19921115 AT 1985-202085 19851216 DX 8505860 A 19860622 DX 1985-5860 19851216 AU 8551391 A1 19860626 AU 1985-51391 19851218
DX 8505860 A 19860622 DX 1985-5860 19851217 AU 8551391 A1 19860626 AU 1985-51391 19851218
DX 8505860 A 19860622 DX 1985-5860 19851217 AU 8551391 A1 19860626 AU 1985-51391 19851218
AU 8551391 A1 19860626 AU 1985-51391 19851218
AU 588015 B2 19890907
ZA 8509663 A 19860827 ZA 1985-9663 19851218
ES 550104 A1 19861216 ES 1985-550104 19851218
CA 1271475 A1 19900710 CA 1985-497977 19851218
IL 77395 A1 19910816 IL 1985-77395 19851219
US 5424313 A 19950613 US 1993-135189 19931012 PRIORITY APPLN. INFO.: NL 1984-3917 A 19841221
EP 1985-202085 A 19851216
US 1985-810094 B1 19851218
US 1988-161240 B1 19880218
US 1988-268886 B1 19881108
US 1990-471694 B1 19900126
US 1990-593280 B1 19901005
US 1991-802715 B1 19911206
US 1993-3683 B1 19930113

US 1991-802715 B1 19911206
US 1993-3683 B1 19911206
US 1993-3683 B1 19931013
For diagram(s), see printed CA Issu.
The title compds. (1, R1 = alkyl, cycloalkyl, alkowyalkyl, etc., p = 0-3, R2 = alkyl) n and q = 0 or 1, R3 = alkylidene, oxo, thioxo, etc., m = 0-2, A = 5-7 member ring containing 1-3 O, S, or N), useful as psychotropics, are prepared Thus, 1-[5-1(1,4-benzodioxanyl)]piperazine-HCl was prepared by treating 5-amino-1,4-benzodioxane with bis(2-chloroethyl) mmine-HCl. No pharmacol. activities are described.
105684-64-2P 105684-87-5P 105685-33-4P
105683-67-P
RL: SYN (Synthetic preparation), PREP (Preparation)
(preparation of, as psychotropic)
105684-64-2 CAPLUS
ZH-1,4-Benzoxazin-3(4H)-one, 5-(1-piperazinyl)-, monohydrochloride (9CI)
(CA INDEX NAME)

L4 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● vc1

RN 105684-87-5 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 105685-33-4 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 5-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 105685-36-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

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14 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

4 ANSWERS

11

ring nodes :

chain bonds :

9-11

ring bonds :

 $1 - 2^{-} \quad 1 - 6 \quad 2 - 3 \quad 3 - 4 \quad 4 - 5 \quad 5 - 6 \quad 5 - 7 \quad 6 - 10 \quad 7 - 8 \quad 8 - 9 \quad 9 - 10 \quad 12 - 13 \quad 12 - 16 \quad 13 - 14 \quad 14 - 15$

15-16

exact/norm bonds :

5-7 6-10 7-8 8-9 9-10 9-11 12-13 12-16 13-14 14-15 15-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS

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SAMPLE SEARCH INITIATED 16:07:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1713 TO ITERATE

58.4% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 31778 TO 36742

<03/01/2005>

10/702,302 Page 4

PROJECTED ANSWERS:

4 TO 294

L2 4 SEA SSS SAM L1

=> s 11 sss full FULL SEARCH INITIATED 16:07:26 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 34893 TO ITERATE

100.0% PROCESSED 34893 ITERATIONS

78 ANSWERS

SEARCH TIME: 00.00.01

L3 78 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 161.33 161.54

FULL ESTIMATED COST

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FILE COVERS 1907 - 1 Mar 2005 VOL 142 ISS 10 FILE LAST UPDATED: 28 Feb 2005 (20050228/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 2 L3

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
2004:414636 CAPLUS
140:423696
Preparation of phenylaminopyrimidines useful as inhibitors of JAK and other protein kinases inhibitors of JAK and other protein kinases
Bethiel, Randy S.; Ludeboer, Mark
U.S. Pat. Appl. Publ., 59 pp.
CODEN: USXXCO
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
1 English
1 TYPES TATENT NROBMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE		
		US 2003-700936	
WO 2004041814	A1 20040521	WO 2003-US35163	20031104
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO. CR. CU.	CZ. DE. DK. DM.	DZ, EC, EE, ES, FI,	GB. GD. GE. GH.
		JP, KE, KG, KP, KR,	
		MK, MN, MW, MX, MZ,	
		SK, SL, TJ, TM, TN,	
			IR, 11, 12, UA,
	VN, YU, ZA, ZM,		
		SD, SL, SZ, TZ, UG,	
BY, KG, KZ,	MD, RU, TJ, TM,	AT, BE, BG, CH, CY,	CZ, DE, DK, EE,
ES. FI. FR.	GB, GR, HU, IE,	IT, LU, MC, NL, PT,	RO, SE, SI, SK,
TR. RF. RJ.	CF. CG. CI. CM.	GA, GN, GQ, GW, ML,	MR. NE. SN. TD. TG
PRIORITY APPLN. INFO .:		US 2002-423579P	
OTHER SOURCE(S): GI	MARPAT 140:4236	96	

The title compds. (1) W1 = N, CH; W2 = N, C(U)pRU; W3 = N, C(V)qRV; p. q = 0-1; RU, RV = R, Arl; U, V = a bond, alkylidene, etc.; R = H, alkyl, etc.; Arl = 5-7 membered (un)saturated monocyclic ring having 0-3 heteroatoms,

8-12 membered (un)saturated bicyclic ring having 0-5 heteroatoms; R1 and R2 together and fused to ring B form a cyclic moiety selected from benzowazine, quinoxaline, etc., R3 - halo, QR, QnCN, QnNQZ, QnArl; R4 -

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692246-55-2 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-[(3-methylphenyl)amino]-4-pyridinyl]- (SCI) (CA INDEX NAME)

692246-57-4 CAPLUS 2H-1, 4-Benzoxazin-3 (4H)-one, 4-methyl-6-[2-[(4-methylphenyl)amino]-4-pyridinyl]- (SCI) (CA INDEX NAME)

692246-59-6 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 6-[2-[(4-methoxyphenyl)amino]-4-pyridinyl]-4-methyl-(9CI) (CA INDEX NAME)

692246-61-0 CAPLUS 2H-1, 4-Benzowazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]-4-methyl- (9C1) (CA INDEX NAME)

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ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Ar1, TAr1, T = alkylidene chain wherein one methylene unit of T is
optionally replaced by CO, CO2, COCO, etc., Q = alkylidene chain wherein
one methylene unit of Q is optionally replaced by CO, CO2, COCO, etc., n =
0-1], useful in the treatment of Various protein kinase mediated
disorders, were prepd. The general procedures for prepn. of the compds. I
were described. The compds. I such as II showed Ki's of <1.0 µM in the
JAKS inhibition assay, and Ki's of <1.0 µM in the JNKS inhibition
assay. The pharmaceutical compn. comprising the compd. I is claimed.
692246-13-69 692246-53-09 692246-55-2P
692246-63-749 692246-55-9-69 692246-65-10P
692246-63-76 962246-65-49 692246-67-6P
692246-63-69 692246-63-69 692246-67-69
692246-69-69 692246-63-69 692246-69-0P
692246-69-69 962246-69-16 692246-94-9P
692246-10-10-09 692247-00-0P
692246-59-19 692247-00-0P
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(preparation of phenylaminopyrimidines useful as inhibitors of JAK and

protein kinases)
692246-51-8 CARLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-(phenylamino)-4-pyridinyl](9CI) (CA INDEX NAME)

692246-53-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-4-methyl- (9CI) (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692246-63-2 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethoxyphenyl)amino]-4-pyridinyl]-4-methyl- (9CI) (CA INDEX NAME)

692246-65-4 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-(phenylamino)-4-pyridinyl]- (9CI) (CA INDEX NAME)

692246-67-6 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-{(2-fluorophenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-69-8 CAPLUS 2H-1, 4-Benzoxazin-3 (4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692246-71-2 CAPLUS
2H-1, 4-Benzowazin-3(4H)-one, 6-[2-[(4-fluorophenyl)amino]-4-pyridinyl](9CT) (CA INDEX NAME)

692246-73-4 CAPLUS 2H-1, 4-Benzoxazin-3 (4H) -one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinyl]-(SCI) (CA INDEX NAME)

692246-75-6 CAPLUS 2H-1,4-Benzokazin-3(4H)-one, 6-[2-[(4-chlorophenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-77-8 CAPLUS 2H-1,4-Bencoxsatin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-(9C1) (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN L4 (Continued)

692246-88-1 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-{2-([1,1'-bipheny1]-3-ylamino)-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-90-5 CAPLUS
Benzenesulfonamide, 3-[[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)-2-pyridinyl] amino] - (SCI) (CA INDEX NAME)

692246-92-7 CAPLUS
Benzenesulfonamide, 3-[[4-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-2-pyridinyllamino]- (9CI) (CA INDEX NAME)

692246-94-9 CAPLUS
Benzenesulfonamide, 4-[[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

<03/01/2005>

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

692246-79-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(2-methylphenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-81-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-hydroxyphenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-83-6 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 6-[2-[(4-methylphenyl)amino]-4-pyridinyl]-(9C1) (CA INDEX NAME)

692246-84-7 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]-(9C1) (CA INDEX NAME)

692246-86-9 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethoxyphenyl)amino]-4-pyridinyl]- (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

692246-96-1 CAPLUS
Benzenesulfonamide, 4-[[4-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

692246-98-3 CAPLUS Benzanide, 3-[4-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]amino|- (SCI) (CA INDEX NAME)

$$H_2N- \bigcup_{i=1}^N M_i - \bigcup_{i=1}^M M_i - \bigcup_{i=1}^N M_i - \bigcup_{i=1$$

692247-00-0 CAPLUS
Benzonitrile, 3-[(4-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]amino]- (9CI) '(CA INDEX NAME)

692247-02-2 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-[(3-hydroxyphenyl)amino]-4-pyridinyl]-4-methyl- (9C1) (CA INDEX NAME)

692247-04-4 CAPLUS 2H-1, 4-Banzoxazin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-06-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[2-(4-morpholiny1)ethy1]-6-[2-(phenylamino)-4-pyridiny1]- (9CI) (CA INDEX NAME)

RN 692247-08-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-4[2-(4-morpholinyl)ethyl)- (9CI) (CA INDEX NAME)

RN 692247-10-2 CAPLUS
CN 2H-1,4-Benzokazin-3(4H)-one, 6-{2-[(3-chlorophenyl)amino]-4-pyridinyl]-4[Z-(4-morpholnyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-14-6 CAPLUS
CN Benzamide, 3-[[4-[3,4-dihydro-4-[2-(4-morpholiny])ethyl]-3-oxo-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 692247-15-7 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[2-(4-morpholinyl)ethyl)-6-[2-((3-phenoxyphenyl)amino]-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 692247-16-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-4[2-(4-morpholinyl)athyl]- (9Cl) (CA INDEX NAME)

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-12-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-hydroxyphenyl)amino]-4-pyridinyl]-4[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 692247-13-5 CAPLUS
CN Benzoic acid, 3-[[4-[3,4-dihydro-4-[2-(4-morpholiny1)ethyl]-3-oxo-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-17-9 CAPLUS
CN 2H-1,4-Benzokazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]4-[2-(4-morpholinyl)ethyl]- (9Cl) (CA INDEX NAME)

RN 692247-18-0 CAPLUS
CN Benzensulfonamide, 3-[[4-[3,4-dihydro-4-[2-(4-morpholiny1)ethy1]-3-oxo-2H1,4-benzoxazin-6-y1]-2-pyridiny1]amino]- (9CI) (CA INDEX NAME)

RN 692247-19-1 CAPLUS
CN Benzenesulfonamide, 4-[[4-[3,4-dihydro-4-[2-(4-morpholinyl)ethyl]-3-oxo-2H1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

692247-20-4 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]-4-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

692247-21-5 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-(phenylamino)-4-pyridinyl]-4-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-4-(3-pyridinylmethyl)-(9CT) (CA INDEX NAME)

692247-26-0 CAPLUS
Benzoic acid, 3-[(4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

692247-27-1 CAPLUS
Benzonitrile, 3-[[4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- (CA INDEX NAME)

692247-28-2 CAPLUS
2H-1, 4-Benzowazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]4-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

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- ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 692247-22-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-4-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

692247-23-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinyl]-4-(3-pyridinyl)methyl)- (9CI) (CA INDEX NAME)

- 692247-24-8 CAPLUS 2H-1,4-Benzokazin-3(4H)-one, 6-[2-[(3-hydroxyphenyl)amino]-4-pyridinyl]-4-(3-pyridinyl)mebtyl)- (9C1) (CA INDEX NAME)

692247-25-9 CAPLUS

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

692247-29-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-phenoxyphenyl)amino]-4-pyridinyl]-4-(3-pyridinyl)methyl) - (9CI) (CA INDEX NAME)

- 692247-30-6 CAPLUS
 Benzenesulfonamide, 3-[[4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- [9CI] (CA INDEX NAME)

- 692247-31-7 CAPLUS
 Benzenesulfonamide, 4-[[4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-32-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]4-(3-pyridinylmethyl)- (9Cl) (CA INDEX NAME)

RN 692247-33-9 CAPLUS
CN Benzamide, 3-[[4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- (9C1) (CA INDEX NAME)

RN 692247-34-0 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-[2-(phenylamino)-4-pyridinyl]-4-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-38-4 CAPLUS
CN Benzoic acid, 3-[[4-[3,4-dihydro-3-oxo-4-(2-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinylmaino]-, methyl ester (9CI) (CA INDEX NAME)

RN 692247-39-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]4-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 692247-40-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-phenoxyphenyl)amino]-4-pyridinyl]-4(2-pyridinylmethyl)- (9C1) (CA 1NDEX NAME)

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 692247-35-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-4(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 692247-36-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinylnethyl)- (9CI)
(CA INDEX NAME)

RN 692247-37-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-4(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continu

RN 692247-41-9 CAPLUS
CN Benzenesulfonamide, 3-[[4-[3,4-dihydro-3-oxo-4-(2-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 692247-42-0 CAPLUS
CN Benzenesulfonamide, 4-[[4-{3,4-dihydro-3-oxo-4-(2-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 692247-43-1 CAPLUS
CN 2H-1,4-Eenzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]4-(2-pyridinylmethyl)- (9Cl) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-44-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-{2-(phenylamino)-4-pyridinyl]-4-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 692247-45-3 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinylethyl)- (9CI) (CA INDEX NAME)

RN 692247-46-4 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinyl]-4(4-pyridinylnethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-50-0 CAPLUS
CN Benzenesulfonamide, 3-[[4-[3,4-dihydro-3-oxo-4-(4-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 692247-51-1 CAPLUS
CN Benzenesulfonamide, 4-[[4-[3,4-dihydro-3-oxo-4-(4-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- [9CI] (CA INDEX NAME)

RN 692247-52-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]4-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 692247-47-5 CAPLUS
CN Benzoic acid, 3-[[4-[3,4-dihydro-3-oxo-4-(4-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 692247-48-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]-4-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 692247-49-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-phenoxyphenyl)amino]-4-pyridinyll-4-(4-pyridinyllathyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002: 332196 CAPLUS
DOCUMENT NUMBER: 1136:355241
ITITLE: Preparation of benzoxazinones as antidepressants and anxiolytics
INVENTOR(S): Johnson, Christopher Norbert; Rami, Harshad Kantilel; Stemp, Geoffrey; Thewlis, Kevin; Thompson, Hervyn; Vong, Antonio Ruck Keong
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
PCT Int. Appl., 97 pp.
CODEN: PIXXOL2
DOCUMENT TYPE: Patent
LANGUAGE: English

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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WO	2002	0347	54		A2		2002	0502	,	WO	2001-	EP 12	344		2	0011	022
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ZA	2003	0031	18		A		2004	0428		ZA	2003-	3118			2	0030	423
NO	2003	0018	38		Α		2003	0624		NO	2003-	1838			2	0030	424
US	2004	0637	04		A1		2004	0401	,	US	2003-	4151	19		2	0031	016
PRIORIT	Y APP	LN.	info	. :							2000-						
										GB	2001-	1185	8		A 2	0010	515
										WO	2001-	EP 12	344	1	₩ 2	0011	022
OTHER S	OURCE	(S):			MAR	PAT	136:	35524	1								

$$Ar^{\circ} \mathcal{M}_{m}^{N} \mathcal{M}_{n}^{X} \mathcal{M}_{p}^{Y}$$

$$[R^{2}]_{r}$$

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

420785-55-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

420786-59-0P, 6-(4-Pyridyl)-4H-benzo[1,4]oxazin-3-one
420786-60-3P, 6-(4-Piperidinyl)-4H-benzo[1,4]oxazin-3-one
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT
(Reactant or reagent)
(preparation of benzoxazinones as antidepressants and anxiolytics)
420786-52-0. CAPUIC

(Meactant or resymbly (preparation of benzoxazinones as antidepressants and anxiolytics 420786-59-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

420786-60-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-(4-piperidinyl)- (9CI) (CA INDEX NAME)

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ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
The title compds. [I: Ar = (un) substituted Ph, naphthyl, a monocyclic or a bicyclic heteroarom. group; when Ar = Ph or a monocyclic heteroarom. group substituents positioned ortho to one another may be linked to form a S-6 membered ring; R1 = H, alkyl, alkenyl, alkynyl, arylalkyl; R2 = halo, alkyl, CN, CF3, alkancyl, alkoxy, GH, X = CH, N; Y = a single bond, O, CO; p = 0-2; r = 0-3; m = 2-4; n, q = 1-2], useful as medicaments for various CRS disorders, including depression and/or anxiety, were prepared Thus, reacting 6-(4-piperidinyloxy)-4H-benzo[1,4] oxazin-3-one.HCl with 4-HH-indolyloxyacetaldehyde in the presence of NaBH(GAC)3 in 1,2-dichloroethane afforded 63% I [Ar = 4-indolyl; R1 = H; X = CH; Y = O; p = O; q = 1); n, m = 2; r = 0]. All compds. I tested according to the radioligand binding assay were found to have pKi values > 6.0 at 5-HTlA receptors.
420785-52-09 420785-53-19 420785-54-29

83E.

420785-55-3P

RI: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses)

(preparation of benzoxazinones as antidepressants and anxiolytics) 420785-52-0 CAPLUS 2H-1.4-Benzoxazin-3(4H)-one, 6-[1-[3-(1H-indol-4-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

420785-53-1 CAPLUS
Benzonitrile, 2-{3-{4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-1-piperidinyl}propoxy}- (9CI) (CA INDEX NAME)

420785-54-2 CAPLUS 2H-1,4-Bencoxazin-3(4H)-one, 6-[1-[3-[2-(5-isoxazoly1)phenoxy]propy1]-4-piperidiny1]- (9CI) (CA INDEX NAME)